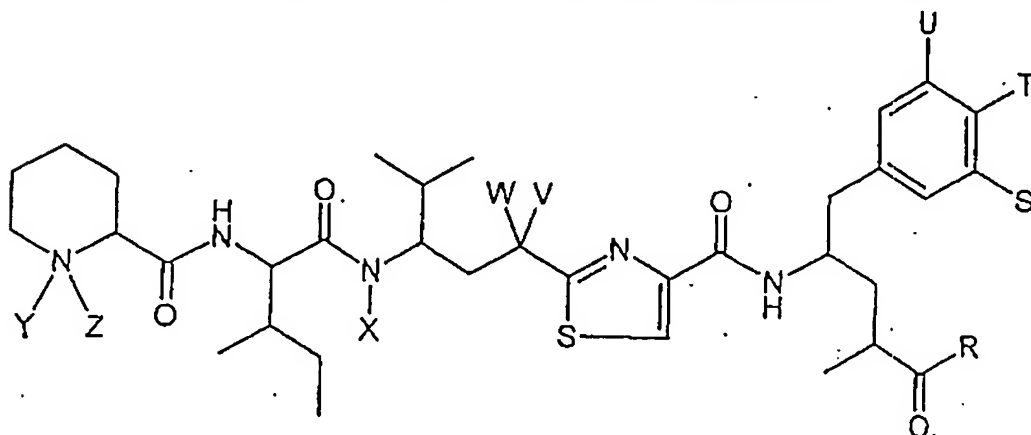


In the Claims:

Please amend the claims as follows:

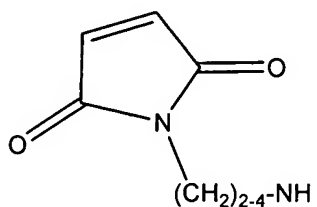
1. (Currently Amended) A ~~C~~compound of ~~the following general~~ formula I (tubulysin):



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z ~~having~~ have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for Z = CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z = CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for Y = O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}.$

2. (Currently Amended) The Compound according to claim 1, wherein

$R, R^1, R^4, R^5, R^8, R^9, R^{10}$ and/or $R^{11} = \text{unsubstituted or substituted phenyl, especially}$

C_{1-4} alkyl-substituted phenyl

$R^5 = \text{C}_{1-4}\text{alkyl, C}_{2-6}\text{alkenyl or pyridyl}$

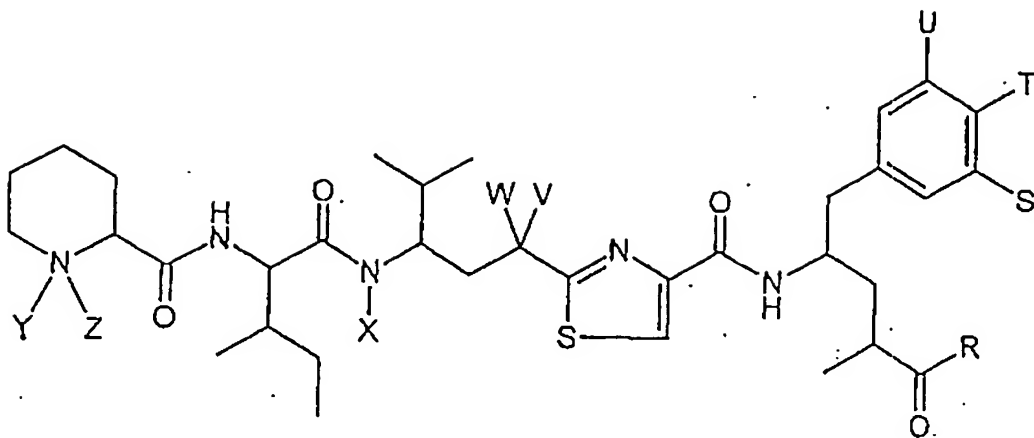
R^5 and/or $X = \text{C}_{2-4}\text{alkenyl}$

$R^6 = \text{an alkali metal ion, especially the Na ion, or an alkaline earth metal ion}$

R^8 and/or $R^9 = \text{C}_{2-4}\text{alkenyl and/or}$

$R^{10} = \text{C}_{2-6}\text{alkenyl, especially C}_{2-4}\text{alkenyl, or pyridyl.}$

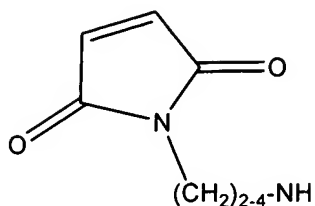
3. (Currently Amended) ~~(Scheme 1)~~ A Process for the preparation of a compound of the ~~general formula I according to claim 1~~ (type 7)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

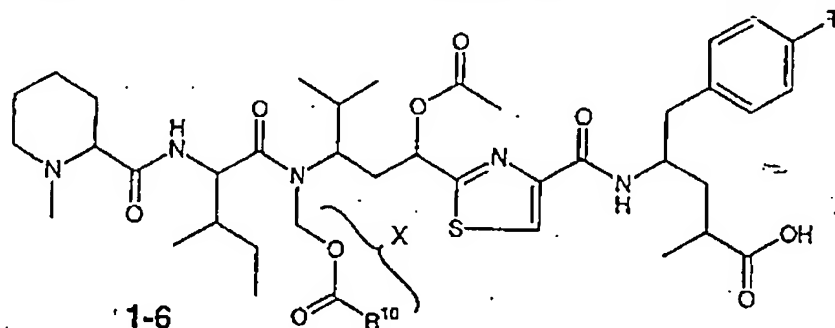
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = H, Y = free electron pair and Z = CH₃, in which process wherein a compound of the following general formula II (type 1, 2, 3, 4, 5 or 6):

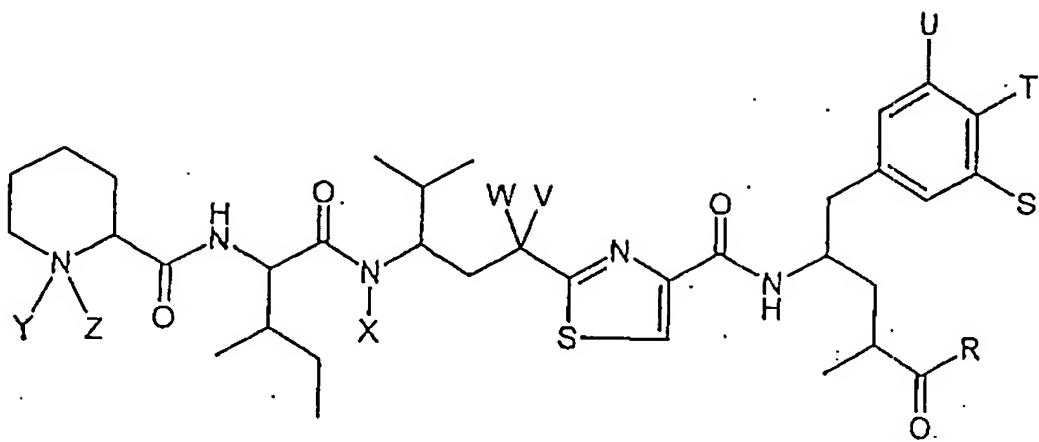


Formula II

wherein X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, and which otherwise has the meanings indicated above is subjected to ester cleavage in an acidic medium, and thereby preparing the compound of the general formula I having the indicated meanings is obtained.

4. (Currently Amended) The Pprocess according to claim 3, wherein the ester cleavage is carried out in an organic solvent, especially dioxane, in the presence of an acid, especially hydrogen chloride, and/or at elevated temperature.

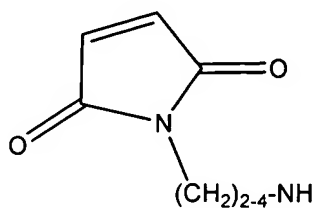
5. (Currently Amended) (Scheme 1) A Pprocess for the preparation of a compound of the general formula I according to claim 1 (type 8)



Formula I

wherein $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$ and Z have the following meanings:

$R = H, \text{alkyl}, \text{aryl}, OR^1, NR^1R^2$ or



$R^1 = H, \text{alkyl or aryl}$

$R^2 = H, \text{alkyl or aryl}$

$S = H, \text{Hal}, NO_2 \text{ or } NHR^3$

$U = H, \text{Hal}, NO_2 \text{ or } NHR^3$

$R^3 = H, HCO \text{ or } \text{alkyl-CO}$

$T = H \text{ or } OR^4$

$R^4 = H, \text{alkyl}, \text{aryl}, COR^5, P(O)(OR^6)_2 \text{ or } SO_3R^6$

$R^5 = \text{alkyl}, \text{alkenyl}, \text{aryl or heteroaryl}$

$R^6 = H, \text{alkyl or a metal ion}$

$V = H, OR^7, Hal$ or (with $W = O$) O

$R^7 = H, alkyl$ or COR^8

$R^8 = alkyl, alkenyl$ or $aryl$

$W = H$ or $alkyl$ or (with V) O

$X = H, alkyl, alkenyl$ or CH_2OR^9

$R^9 = H, alkyl, alkenyl, aryl$ or COR^{10}

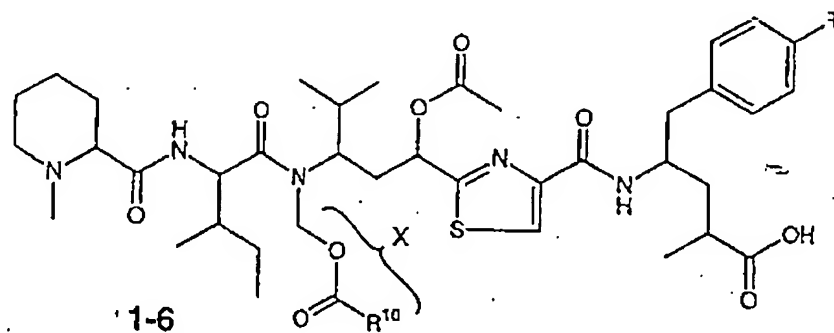
$R^{10} = alkyl, alkenyl, aryl$ or $heteroaryl$

$Y =$ (for $Z = CH_3$ or COR^{11}) $free\ electron\ pair$ or (for $Z = CH_3$) O

$R^{11} = alkyl, CF_3$ or $aryl$ and/or

$Z =$ (for $Y = O$ or $free\ electron\ pair$) CH_3 or (for $Y = free\ electron\ pair$) COR^{11}

wherein $R = OR^1, R^1 = H, S = U = H, T = H$ or $OH, V = OR^7, R^7 = COR^8, R^8 = alkyl$, preferably C_{1-4} $alkyl$, especially $methyl$, $W = H, X = H, Y = free\ electron\ pair$ and $Z = CH_3$, in which process wherein a compound of the general formula II according to claim 3 (type 1, 2, 3, 4, 5 or 6)

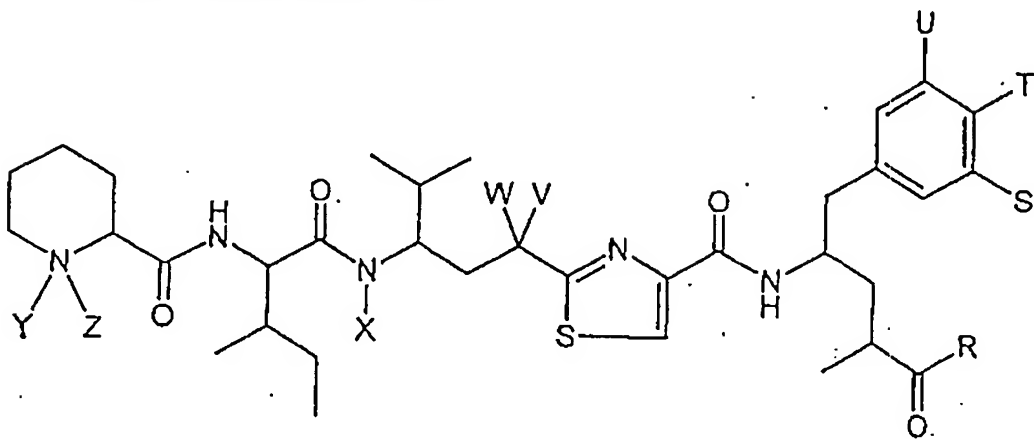


Formula II

wherein $X = CH_2OR^9, R^9 = COR^{10}, R^{10} = alkyl$, preferably $C_{1-6}alkyl$, and which otherwise has the meanings indicated above is subjected to acetal cleavage and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

6. (Currently Amended) The Process according to claim 5, wherein the acetal cleavage is carried out in an acidic medium, especially in the presence of hydrochloric acid, and/or at elevated temperature.

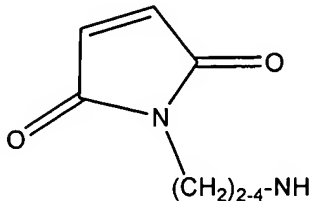
7. (Currently Amended) (Scheme 1) A Process for the preparation of a compound of the general formula I according to claim 1 (type 9)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R^5 = alkyl, alkenyl, aryl or heteroaryl

R^6 = H, alkyl or a metal ion

V = H, OR^7 , Hal or (with $W = O$) O

R^7 = H, alkyl or COR^8

R^8 = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH_2OR^9

R^9 = H, alkyl, alkenyl, aryl or COR^{10}

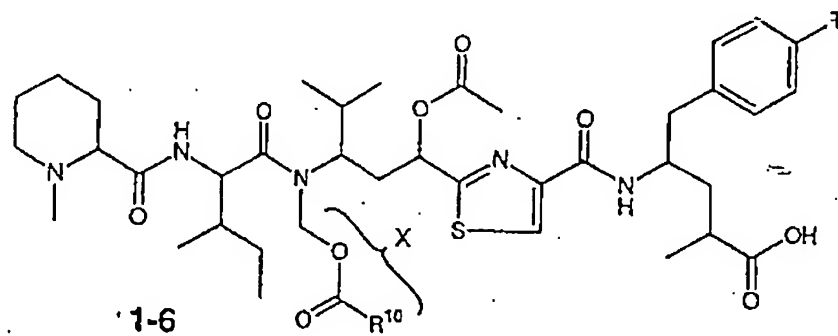
R^{10} = alkyl, alkenyl, aryl or heteroaryl

Y = (for $Z = CH_3$ or COR^{11}) free electron pair or (for $Z = CH_3$) O

R^{11} = alkyl, CF_3 or aryl and/or

Z = (for $Y = O$ or free electron pair) CH_3 or (for Y = free electron pair) COR^{11}

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = H$ or OH , $V = OR^7$, $R^7 = H$, $W = H$, $X = CH_2OR^9$, $R^9 = COR^{10}$, R^{10} = alkyl, especially C_{1-6} alkyl, Y = free electron pair and $Z = CH_3$, ~~in which process~~ wherein a compound of the general formula II according to claim 3 (type 1, 2, 3, 4, 5 or 6)

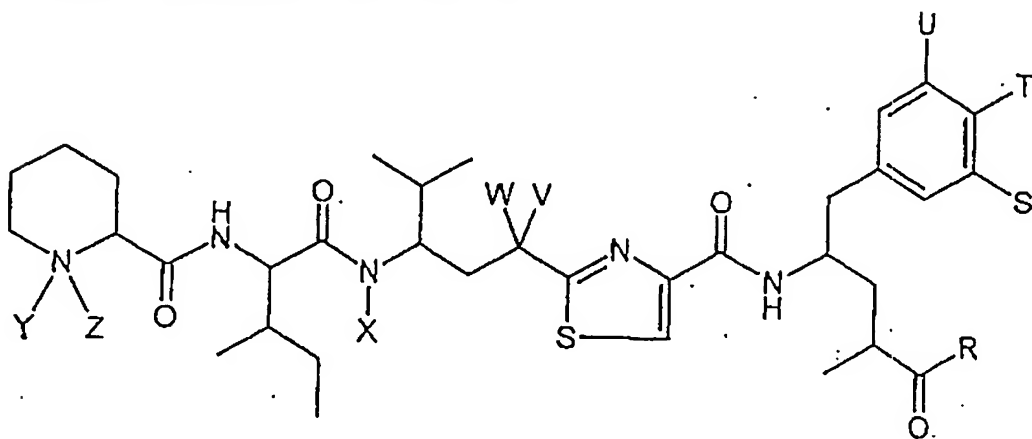


Formula II

wherein $V = OR^7$, $R^7 = COR^8$, R^8 = alkyl, preferably C_{1-4} alkyl, especially methyl, and which otherwise has the meanings indicated above is subjected to ester cleavage in a weakly alkaline medium, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings ~~is obtained~~.

8. (Currently Amended) The Process according to claim 7, wherein the ester cleavage is carried out in an organic medium, especially a hydrophilic organic solvent, preferably an alcohol, especially methanol, in the presence of a weak base, especially NH_3 .

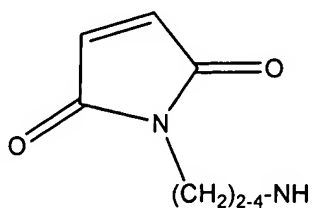
9. (Currently Amended) (Scheme 1) A Process for the preparation of a compound of the general formula I according to claim 1 (type 10)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)}(\text{OR}^6)_2 \text{ or } \text{SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with } W = \text{O}) \text{ O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with } V) \text{ O}$

$X = \text{H, alkyl, alkenyl or } \text{CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

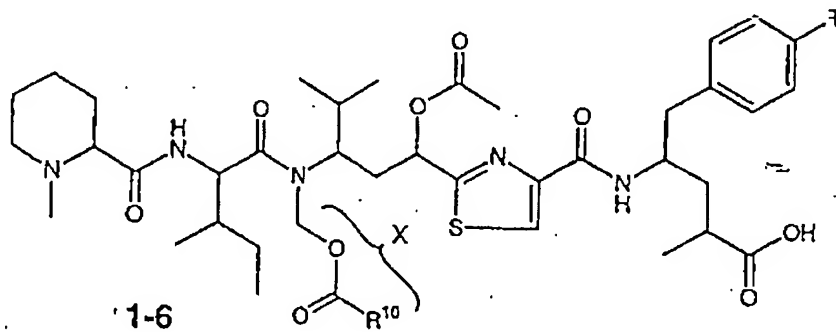
$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for } Z = \text{CH}_3 \text{ or } \text{COR}^{11}) \text{ free electron pair or (for } Z = \text{CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for } Y = \text{O or free electron pair}) \text{CH}_3 \text{ or (for } Y = \text{free electron pair}) \text{COR}^{11}$

wherein $R = \text{OR}^1, R^1 = \text{H}, S = \text{U} = \text{H}, T = \text{H or OH}, V = \text{OR}^7, R^7 = \text{H}, W = \text{H}, X = \text{H}, Y = \text{free electron pair and } Z = \text{CH}_3$, ~~in which process~~ wherein a compound of the general formula II according to ~~claim 3~~ (type 1, 2, 3, 4, 5 or 6)

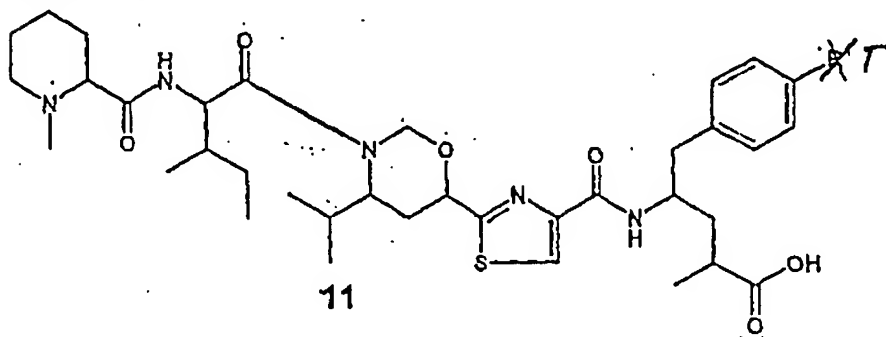


Formula II

wherein $V = \text{OR}^7, R^7 = \text{COR}^8, R^8 = \text{alkyl, preferably } \text{C}_{1-4}\text{alkyl, especially methyl, } X = \text{CH}_2\text{OR}^9, R^9 = \text{COR}^{10}, R^{10} = \text{alkyl, especially } \text{C}_{1-6}\text{alkyl, and which otherwise has the meanings indicated above is subjected to double ester cleavage in a strongly alkaline medium, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.$

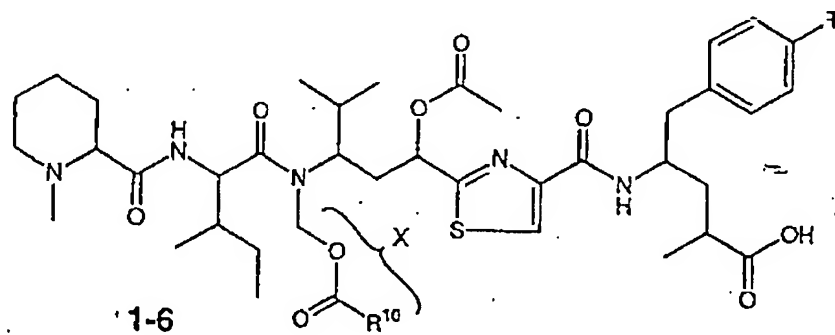
10. (Currently Amended) The ~~P~~process according to claim 9, wherein the double ester cleavage is carried out in an organic medium, especially in a hydrophilic organic solvent, preferably an alcohol, especially methanol, in the presence of a strong base, especially an alkali metal hydroxide, preferably sodium hydroxide.

11. (Currently Amended) (Scheme 1) A ~~P~~process for the preparation of a compound of the following general formula III (type 11)



Formula III

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = H$ or OR^4 , $R^4 = H$, V with $X = CH_2O$ bridge, $W = H$, $Y =$ free electron pair and $Z = CH_3$ in the general formula according to claim 1, ~~in which process~~ wherein a compound of the general formula II ~~according to claim 3~~ (type 1, 2, 3, 4, 5 or 6)

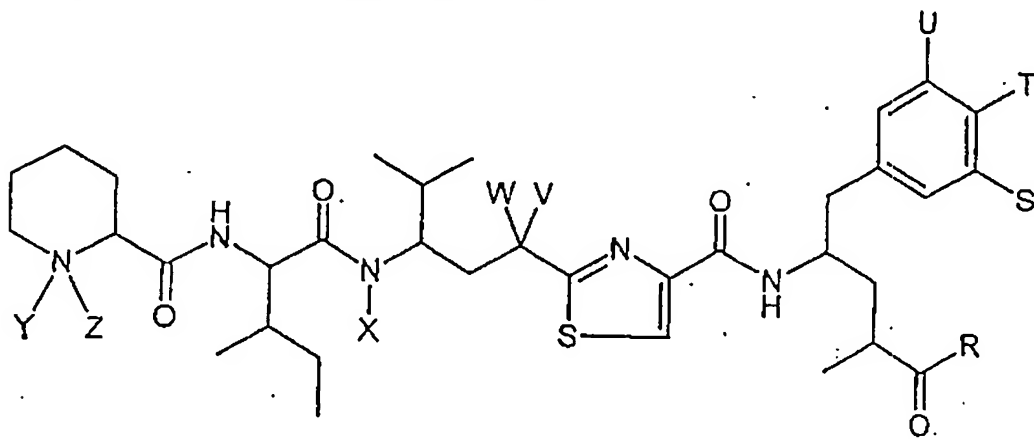


Formula II

wherein $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} =$ alkyl, especially C_{1-6} alkyl, $V = OR^7$, $R^7 = COR^8$, $R^8 =$ alkyl, preferably C_{1-4} alkyl, especially methyl, and which otherwise has the meanings indicated above is subjected to ring formation with double ester cleavage in an acidic medium, and thereby preparing the compound of the general formula III ~~above~~ having the indicated meanings is obtained.

12. (Currently Amended) The ~~P~~process according to claim ~~12~~ 11, wherein the ring formation is carried out in an aqueous medium, in the presence of an inorganic acid, preferably hydrochloric acid, and with heating.

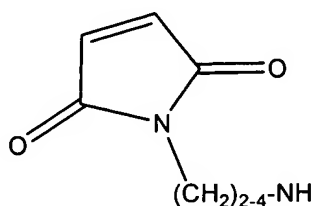
13. (Currently Amended) (~~Scheme 2~~) A ~~P~~process for the preparation of a compound of the general formula I according to claim ~~1~~ (type 12)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

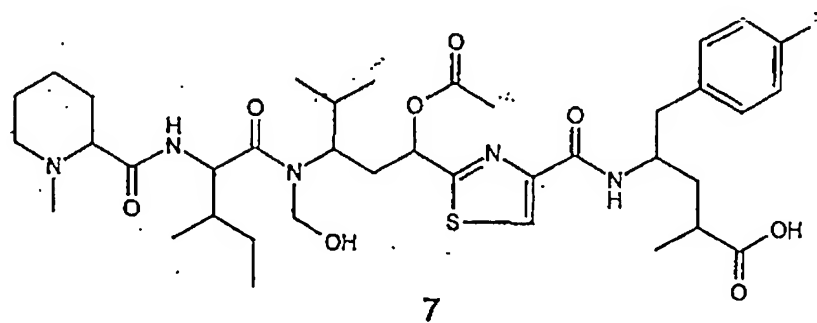
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OR⁴, R⁴ = COR⁵, R⁵ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = R⁵, Y = free electron pair and Z = CH₃, ~~in which process wherein~~ a compound of the following general formula IV (type 7):

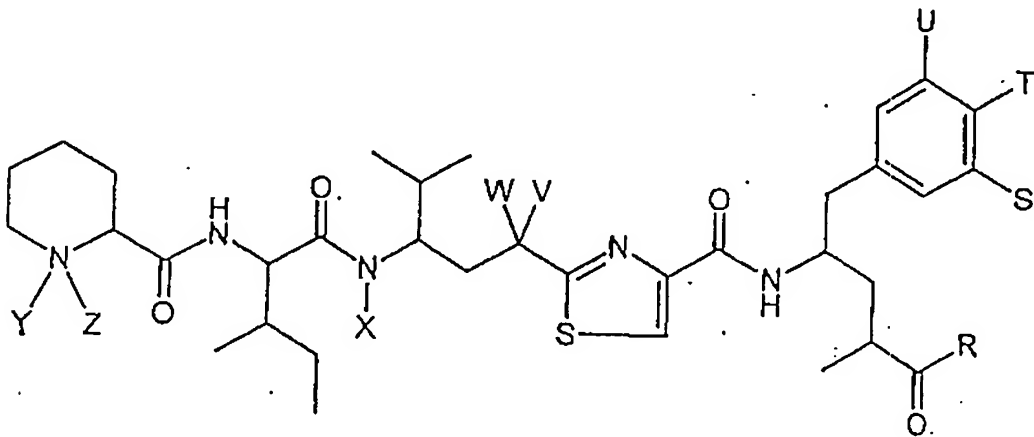


Formula IV

wherein $X = CH^2OR^9$, $R^9 = H$ and which otherwise has the meanings indicated above is subjected to acylation, and thereby preparing a the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

14. (Currently Amended) The Pprocess according to claim 13, wherein the acylation is carried out using an acyl halide, especially an acyl chloride, and/or in the presence of a weak base, especially a weak organic base, preferably a tertiary amine, especially triethylamine.

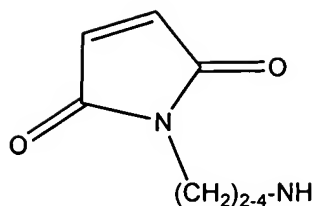
15. (Currently Amended) ~~(Scheme 2)~~ A Pprocess for the preparation of a compound of the general formula I according to claim 1 (type 13)



Formula I

wherein $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$ and Z have the following meanings:

$R = H, \text{alkyl, aryl, } OR^1, NR^1R^2 \text{ or}$



$R^1 = \text{H, alkyl or aryl}$

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for Z = CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z = CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

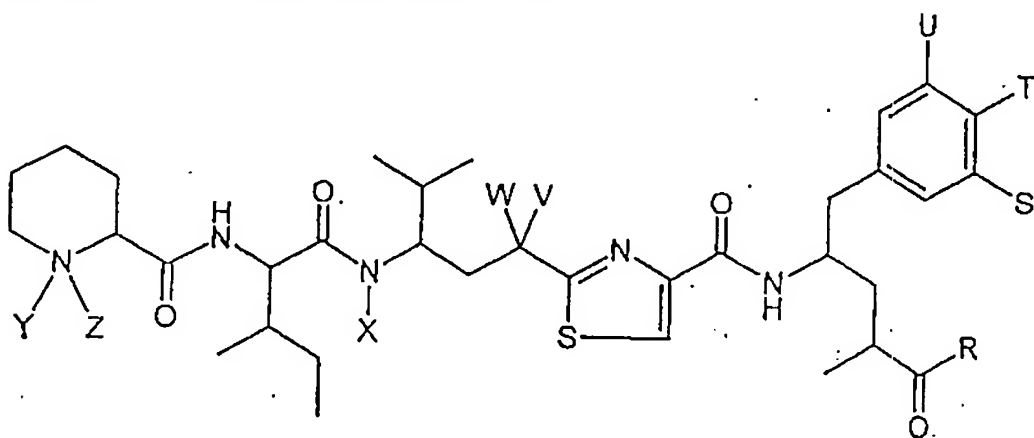
$Z = (\text{for Y = O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}$

wherein $R = \text{OR}^1$, $R^1 = \text{H}$, $S = U = \text{H}$, $T = \text{H or OR}^4$, $R^4 = \text{H}$, $V = \text{OR}^7$, $R^7 = \text{COR}^8$, $R^8 = \text{alkyl}$, preferably $\text{C}_{1-4}\text{alkyl}$, especially methyl, $W = \text{H}$, $X = \text{CH}_2\text{OR}^9$, $R^9 = \text{COR}^{10}$, $R^{10} = \text{alkyl}$, especially

C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH³, ~~in which process wherein~~ hydrolysis is carried out in an alkaline medium on the compound of Formula I ~~a product of the process according to claim 13~~ wherein T = OR⁴, R⁴ = COR⁵ and R⁵ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl and which otherwise has the meanings indicated above, ~~and thereby preparing a compound of the general formula I according to claim 1~~ having the indicated meanings ~~is obtained~~.

16. (Currently Amended) The Process according to claim 15, wherein the hydrolysis is carried out using ammonia.

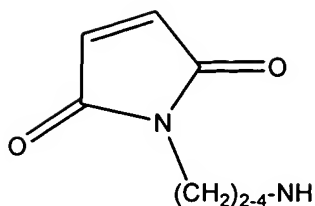
17. (Currently Amended) (~~Scheme 3~~) A Process for the preparation of a compound of the general formula I ~~according to claim 1~~ (type 14)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



$R^1 = \text{H, alkyl or aryl}$

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

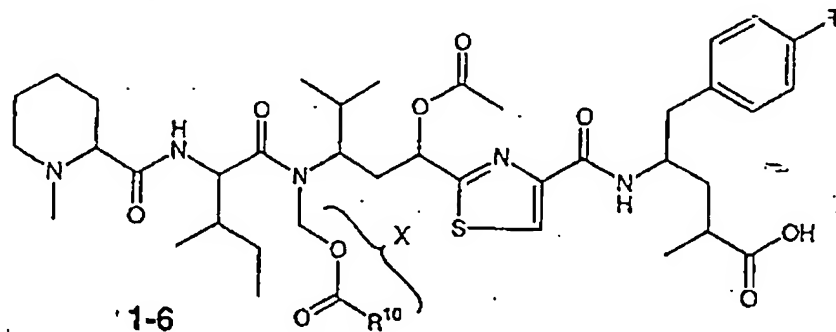
$Y = (\text{for } Z = \text{CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for } Z = \text{CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for } Y = \text{O or free electron pair}) \text{ CH}_3 \text{ or (for } Y = \text{free electron pair}) \text{ COR}^{11}$

wherein $R = \text{OR}^1$, $R^1 = \text{H}$, $S = U = \text{H}$, $T = \text{H or OH}$, $V = \text{OR}^7$, $R^7 = \text{COR}^8$, $R^8 = \text{alkyl, preferably C}_{1-4}\text{alkyl, especially methyl}$. $W = \text{H}$, $X = \text{CH}_2\text{OR}^9$, $R^9 = \text{alkyl, especially C}_{1-4}\text{alkyl, alkenyl or}$

aryl, Y = free electron pair and Z = CH₃, ~~in which process wherein~~ a starting compound of the formula II ~~process according to claim 3~~ (type 1, 2, 3, 4, 5 or 6)



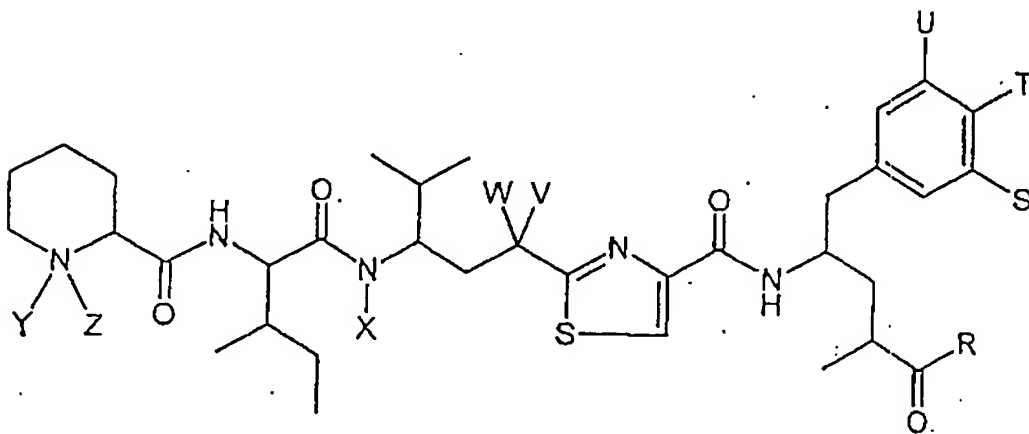
Formula II

is subjected to ester cleavage and is alkylated, ~~and a thereby preparing the compound of the~~
~~general formula I according to claim 1~~ having the indicated meanings ~~is obtained~~.

18. (Currently Amended) The Pprocess according to claim 17, wherein the reaction is carried out using an alkylating agent of formula R⁹OH wherein R⁹ = alkyl, especially C₁₋₄alkyl, alkenyl or aryl.

19. (Currently Amended) The Pprocess according to claim 17 ~~or 18~~, wherein the reaction is carried out in the presence of p-CH₃-C₆H₄SO₂OH in tetrahydrofuran (THF) at elevated temperature.

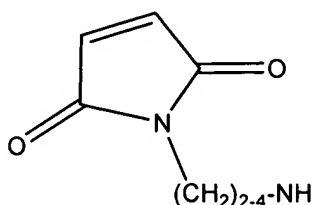
20. (Currently Amended) (~~Scheme 4~~) A Pprocess for the preparation of a compound of the ~~general formula I according to claim 1~~ (type 15)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

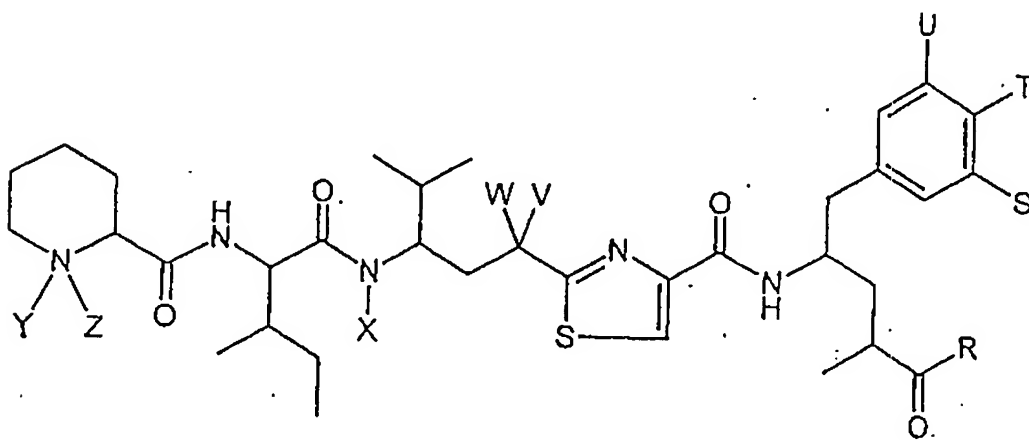
R^{11} = alkyl, CF_3 or aryl and/or

Z = (for $Y = O$ or free electron pair) CH_3 or (for Y = free electron pair) COR^{11}

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = H$ or OR^4 , $R^4 = H$ $V = OR^7$, $R^7 = H$ or COR^8 , R^8 = alkyl, preferably C_{1-4} alkyl, especially methyl, $W = H$, $X = CH_3$, Y = free electron pair and $Z = CH_3$, ~~in which process wherein a compound of Formula I a product of the process according to claim 3~~ (type 7) wherein $X = CH_2, OR^9$, $R^9 = H$ and which otherwise has the meanings indicated above is subjected to reduction, ~~and thereby preparing the compound of the general formula I according to claim 1~~ having the indicated meanings is obtained.

21. (Currently Amended) ~~The~~ Process according to claim 20, wherein the reduction is carried out using $NaCNBH_3$ and trifluoroacetic acid in methanol (MeOH).

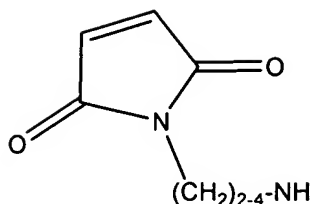
22. (Currently Amended) (~~Scheme 4~~) A ~~P~~rocess for the preparation of a compound of the ~~general formula I according to claim 1~~ (type 15)



Formula I

wherein $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$ and Z have the following meanings:

$R = H$, alkyl, aryl, OR^1 , NR^1R^2 or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

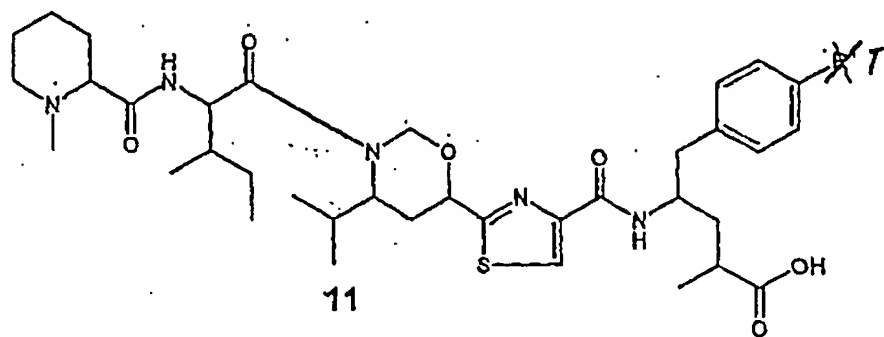
Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OR⁴, R⁴ = H V = OR⁷, R⁷ = H or COR⁸, R⁸ = alkyl, especially C₁₋₄alkyl, especially methyl, W = H, X = CH₃, Y = free electron pair and Z =

CH₃, in which process wherein a compound of the general formula III according to claim 11 (type 11)

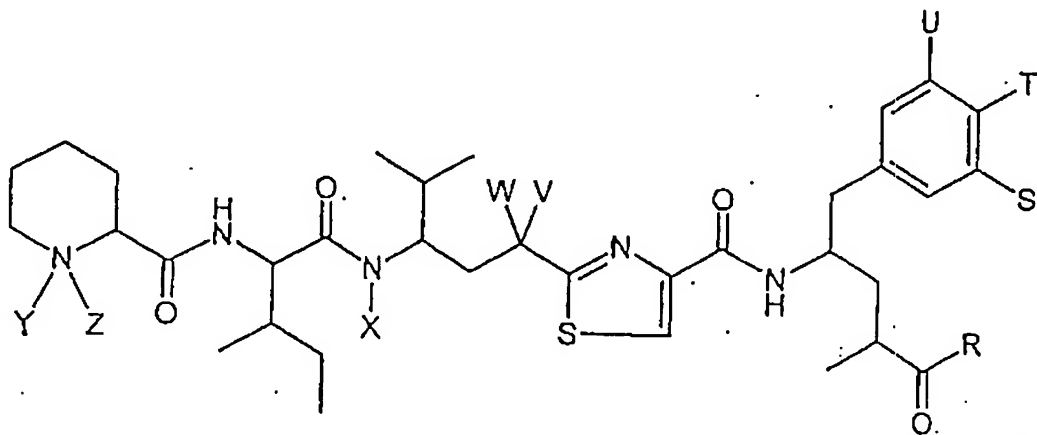


Formula III

is subjected to ring opening with reduction or to reduction with ring opening, and thereby preparing a the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

23. (Currently Amended) The Pprocess according to claim 20 22, wherein the reaction is carried out in the presence of NaCNBH₃ in acetonitrile and, Me₃SiCl and in acetonitrile (CH₃CN).

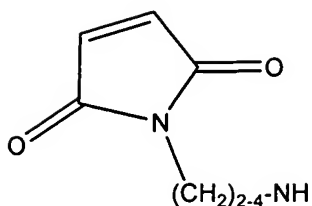
24. (Currently Amended) (Scheme 5) A Pprocess for the preparation of a compound of the general formula I according to claim 1 (type 16)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

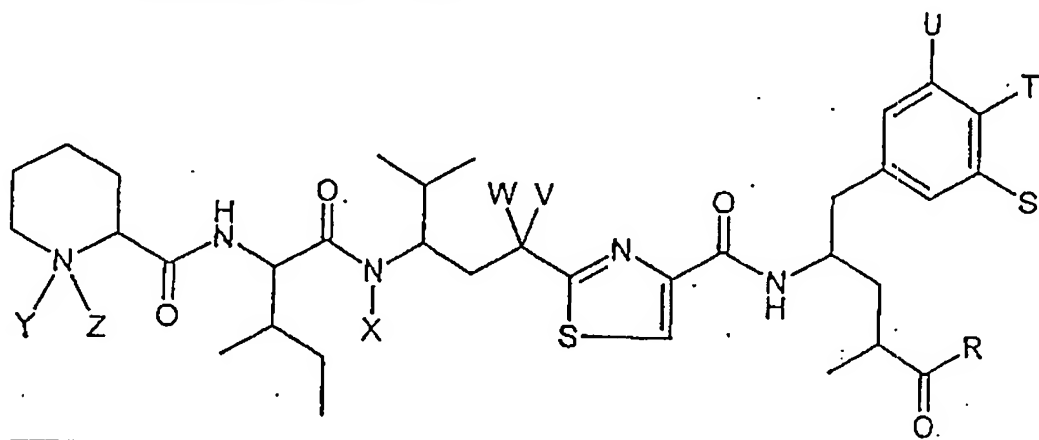
Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, especially C₁₋₄alkyl, alkenyl or aryl, W = H, X = CH₂, OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, or

alkenyl, Y = free electron pair and Z = CH₃, ~~in which process wherein the compound of Formula I a product of a process according to claim 7 (type 9) wherein V = OR⁷ and R⁷ = H and which otherwise has the meanings indicated above is subjected to acylation, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.~~

25. (Currently Amended) ~~The~~ Process according to claim 24, wherein the acylation is carried out using an acyl halide of formula R⁸COCl wherein R⁸ = alkyl, especially C₁₋₄alkyl, alkenyl or aryl, especially an acyl chloride, and/or in the presence of a base, especially an organic base, preferably a trialkylamine, especially triethylamine.

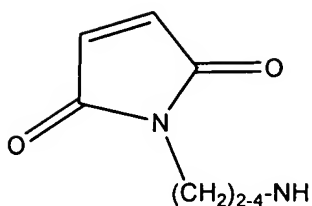
26. (Currently Amended) ~~(Scheme 5)~~ A ~~P~~rocess for the preparation of a compound of the general formula I according to ~~claim 1~~ (type 17)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

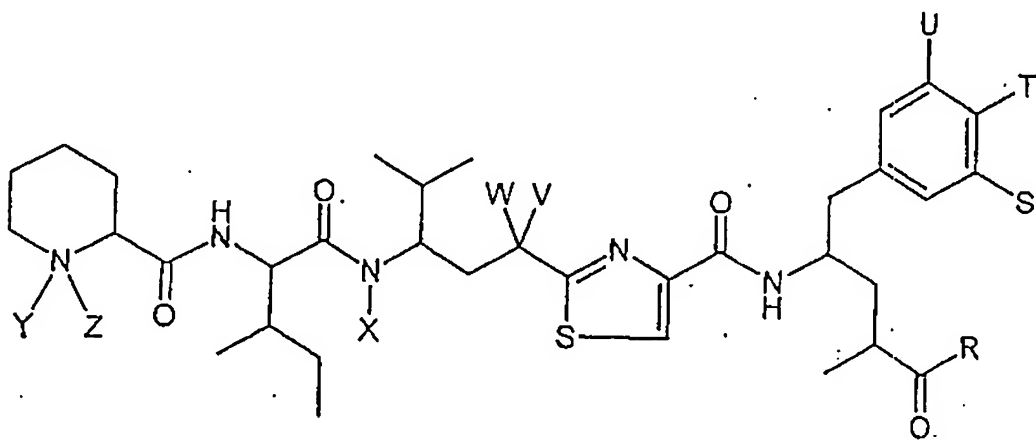
Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OR⁴, R⁴ = H, V = H or F, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, or alkenyl, Y = free electron pair and Z = CH₃, in

~~which process wherein the compound of Formula I a product of a process according to claim 7~~
(type 9) wherein $V = OR^7$ and $R^7 = H$ and which otherwise has the meanings indicated above is
subjected to catalytic hydrogenation or fluorination, ~~and thereby preparing the compound of the~~
~~general formula I according to claim 1 having the indicated meanings is obtained.~~

27. (Currently Amended) The ~~P~~process according to claim 26, wherein, for $V = H$, the
hydrogenation is carried out using palladium-on-carbon in the presence of acetic acid and, for $V = F$, the
fluorination is carried out using DAST in tetrahydrofuran.

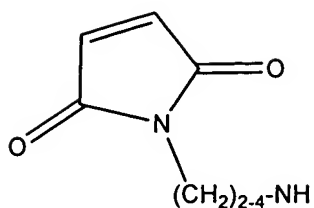
28. (Currently Amended) (~~Scheme 5~~) A ~~P~~process for the preparation of a compound of the
general formula ~~according to claim 1~~ (type 18)



Formula I

wherein $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$ and Z have the
following meanings:

$R = H, \text{alkyl, aryl, } OR^1, NR^1R^2 \text{ or}$



$\text{R}^1 = \text{H, alkyl or aryl}$

$\text{R}^2 = \text{H, alkyl or aryl}$

$\text{S} = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$\text{U} = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$\text{R}^3 = \text{H, HCO or alkyl-CO}$

$\text{T} = \text{H or OR}^4$

$\text{R}^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$\text{R}^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$\text{R}^6 = \text{H, alkyl or a metal ion}$

$\text{V} = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$\text{R}^7 = \text{H, alkyl or COR}^8$

$\text{R}^8 = \text{alkyl, alkenyl or aryl}$

$\text{W} = \text{H or alkyl or (with V) O}$

$\text{X} = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$\text{R}^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$\text{R}^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$\text{Y} = (\text{for Z} = \text{CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z} = \text{CH}_3) \text{ O}$

$\text{R}^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

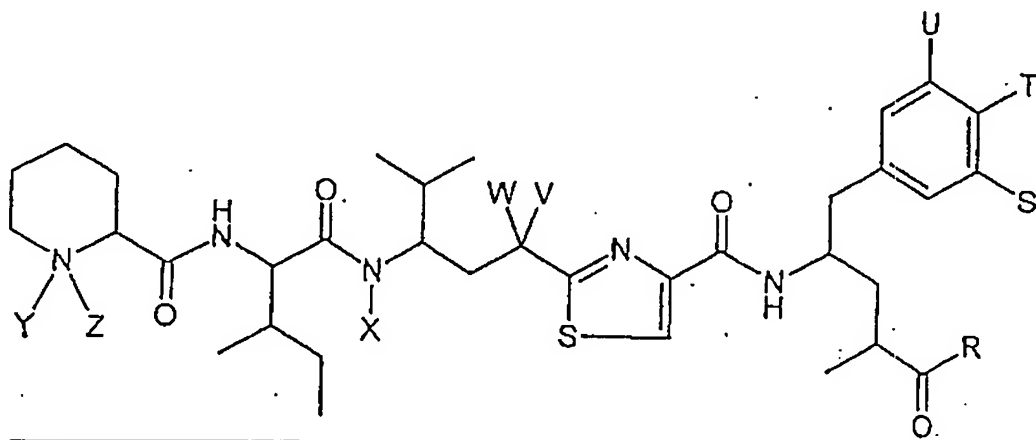
$\text{Z} = (\text{for Y} = \text{O or free electron pair}) \text{ CH}_3 \text{ or (for Y} = \text{free electron pair}) \text{ COR}^{11}$

wherein $\text{R} = \text{OR}^1$, $\text{R}^1 = \text{H}$, $\text{S} = \text{U} = \text{H}$, $\text{T} = \text{H or OR}^4$, $\text{R}^4 = \text{H}$, V with $\text{W} = \text{O}$, $\text{X} = \text{CH}_2\text{OR}^9$, $\text{R}^9 = \text{COR}^{10}$, $\text{R}^{10} = \text{alkyl, especially C}_{1-6}\text{alkyl, or alkenyl}$, $\text{Y} = \text{free electron pair and Z} = \text{CH}_3$, in which

~~process wherein the compound of Formula I a product of a process according to claim 7 (type 9) wherein V = OR⁷ and R⁷ = H and which otherwise has the meanings indicated above is subjected to oxidation with formation of a ketone, and thereby preparing a the compound of the general formula I according to claim 1 having the indicated meanings is obtained.~~

29. (Currently Amended) The ~~P~~process according to claim 28, wherein the oxidation is carried out in the presence of TPAP and NMO in dichloromethane ~~NMO~~.

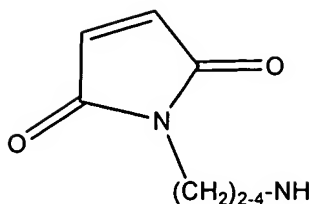
30. (Currently Amended) (Scheme 5) A Process for the preparation of a compound of the general formula I according to claim 1 (type 19)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

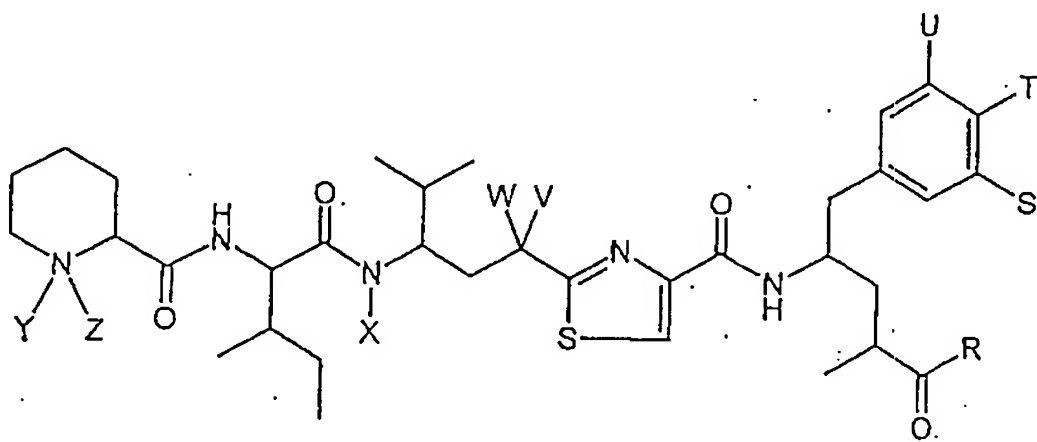
Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = H or OH, V = OR⁷, R⁷ = H, W = alkyl, especially C₁₋₄alkyl, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, or alkenyl, Y = free electron

pair and Z = CH₃, in which process wherein a product of a process according to claim 28 or 29
the compound of Formula I (type 18) is reacted with a Grignard compound to form the
compound of ~~the general~~ formula I ~~according to claim 1~~ having the indicated meanings.

31. (Currently Amended) The ~~P~~process according to claim 30, wherein the reaction is carried out
using an organomagnesium compound of formula WMgHaI wherein W = alkyl and especially
C₁₋₄alkyl.

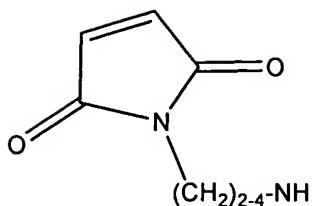
32. (Currently Amended) ~~(Scheme 5)~~ A ~~P~~process for the preparation of a compound of ~~the~~
~~general formula I according to claim 1~~ (type 19)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the
following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



$R^1 = \text{H, alkyl or aryl}$

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for Z = CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z = CH}_3) \text{ O}$

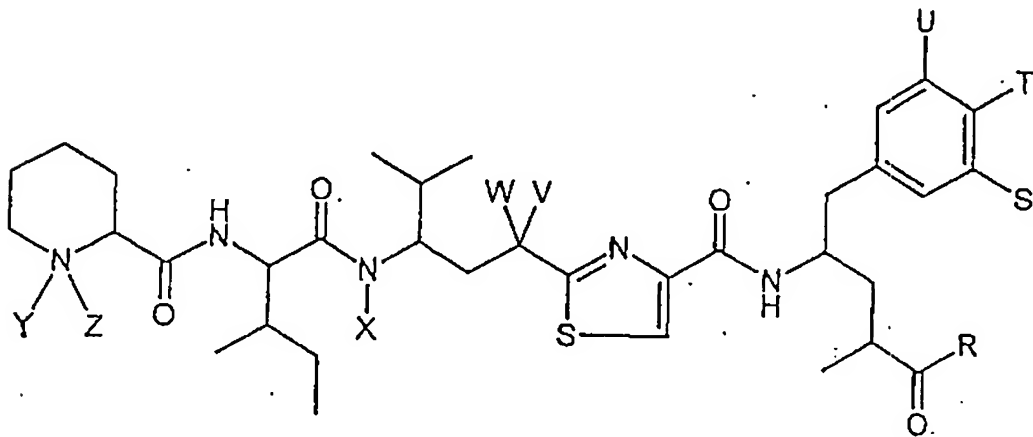
$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for Y = O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}$

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = H$ or OH , $V = OR^7$, $R^7 = H$, $W = \text{alkyl}$ and especially $C_{1-4}\text{alkyl}$, $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} = \text{alkyl}$, especially $C_{1-6}\text{alkyl}$, or alkenyl, $Y = \text{free electron pair}$ and $Z = CH_3$, ~~in which process wherein~~

- (i) in a first step a process according to claim 28 ~~or 29~~ is carried out and then
- (ii) in a second step a process according to claim 30 ~~or 31~~ is carried out, and a thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

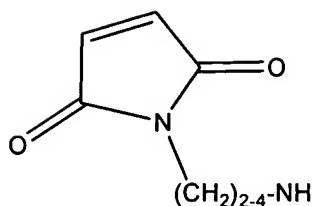
33. (Currently Amended) (~~Scheme 6~~) A Process for the preparation of a compound of the general formula I according to claim 1 (type 20)



Formula I

wherein $R, R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}, S, T, U, V, W, X, Y$ and Z have the following meanings:

$R = H, \text{alkyl, aryl, } OR^1, NR^1R^2 \text{ or}$



$R^1 = \text{H, alkyl or aryl}$

$R^2 = \text{H, alkyl or aryl}$

$S = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$U = \text{H, Hal, NO}_2 \text{ or NHR}^3$

$R^3 = \text{H, HCO or alkyl-CO}$

$T = \text{H or OR}^4$

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

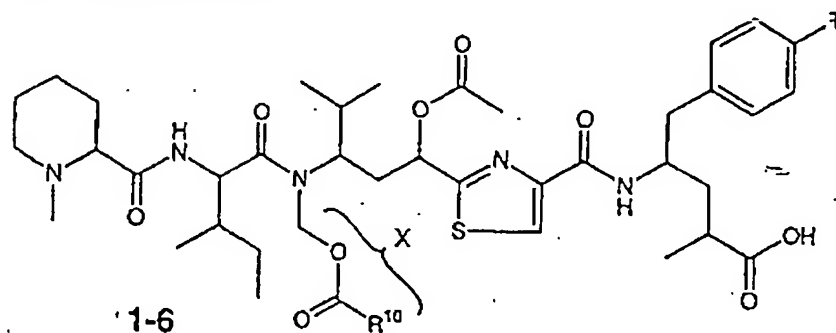
$Y = (\text{for } Z = \text{CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for } Z = \text{CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for } Y = \text{O or free electron pair}) \text{ CH}_3 \text{ or (for } Y = \text{free electron pair}) \text{ COR}^{11}$

wherein $R = \text{OR}^1$, $R^1 = \text{alkyl, especially C}_{1-4}\text{alkyl, or alkenyl}$, $S = U = \text{H}$, $T = \text{H or OR}^4$, $R^4 = \text{H}$, $V = \text{OR}^7$, $R^7 = \text{COR}^8$, $R^8 = \text{alkyl, preferably C}_{1-4}\text{alkyl, especially methyl}$, $W = \text{H}$, $X = \text{CH}_2\text{OR}^9$,

$R^9 = COR^{10}$, $R^{10} =$ alkyl, especially C_{1-6} alkyl, alkenyl, especially C_{2-6} alkenyl, aryl or heteroaryl,
Y = free electron pair and Z = CH_3 , ~~in which process wherein a starting compound of a process~~
~~according to claim 3~~ Formula II (type 1, 2, 3, 4, 5 or 6)

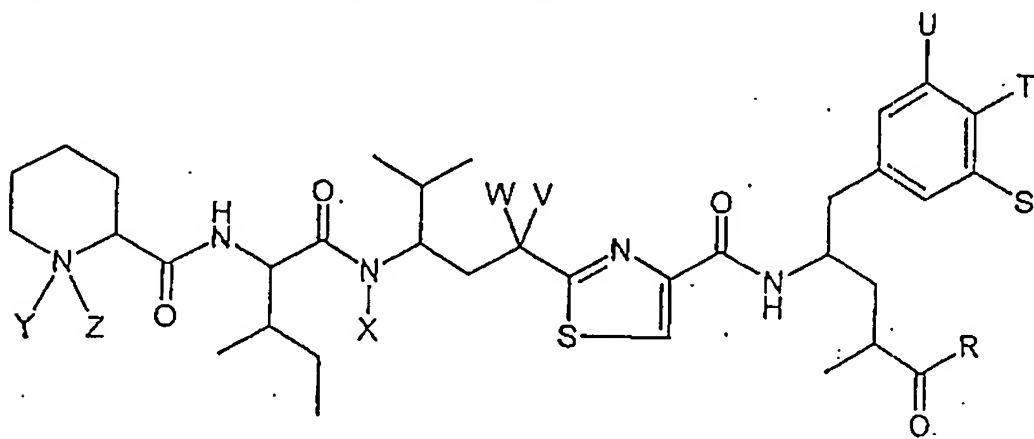


Formula II

~~or a product of a process according to claim 15~~ the compound of Formula I (type 13) is subjected to alkylation or alkenylation, ~~and a thereby preparing the compound of the general formula I~~
~~according to claim 1~~ having the indicated meanings is ~~obtained~~.

34. (Currently Amended) The Process according to claim 33, wherein the alkylation or alkenylation is carried out in the presence of EDC, R^1OH wherein $R^1 =$ alkyl, especially C_{1-6} alkyl, or alkenyl, and DMAP in methylene chloride.

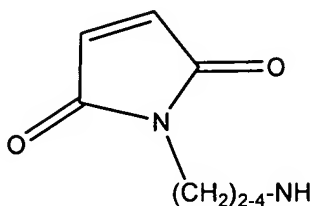
35. (Currently Amended) (~~Scheme 6~~) A Process for the preparation of a compound of ~~the~~
~~general formula I according to claim 1~~ (type 21)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

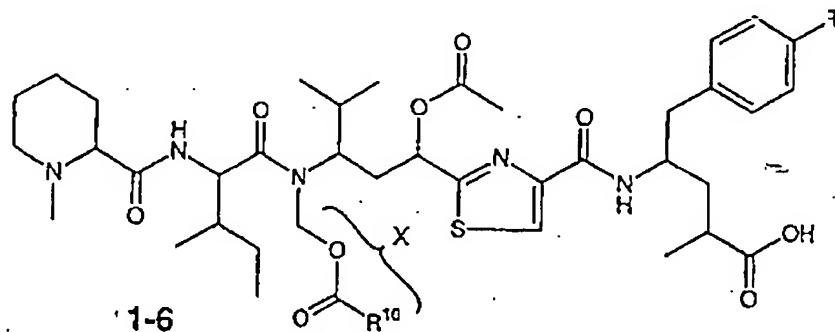
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

$Z = (\text{for } Y = \text{O or free electron pair}) \text{CH}_3 \text{ or } (\text{for } Y = \text{free electron pair}) \text{COR}^{11}$

wherein $R = \text{NHR}^1, \text{NH-NR}^1\text{R}^2, \text{NHOR}^1 \text{ or } \text{NH}((\text{CH}_2)_{2-4}\text{NR}^1\text{R}^2, R^1 \text{ and } R^2, \text{ each independently of the other} = \text{H, alkyl, especially } C_{1-6}\text{alkyl, or aryl, } S = U = \text{H, } T = \text{H or } \text{OR}^4, R^4 = \text{H, } V = \text{OR}^7, R^7 = \text{COR}^8, R^8 = \text{alkyl, preferably } C_{1-4}\text{alkyl, especially methyl, } W = \text{H, } X = \text{CH}_2\text{OR}^9, R^9 = \text{COR}^{10}, R^{10} = \text{alkyl, especially } C_{1-6}\text{alkyl, alkenyl, especially } C_{2-6}\text{alkenyl, aryl or heteroaryl, } Y = \text{free electron pair and } Z = \text{CH}_3, \text{ in which process wherein a starting compound of Formula II a process according to claim 3 (type 1, 2, 3, 4, 5 or 6)$

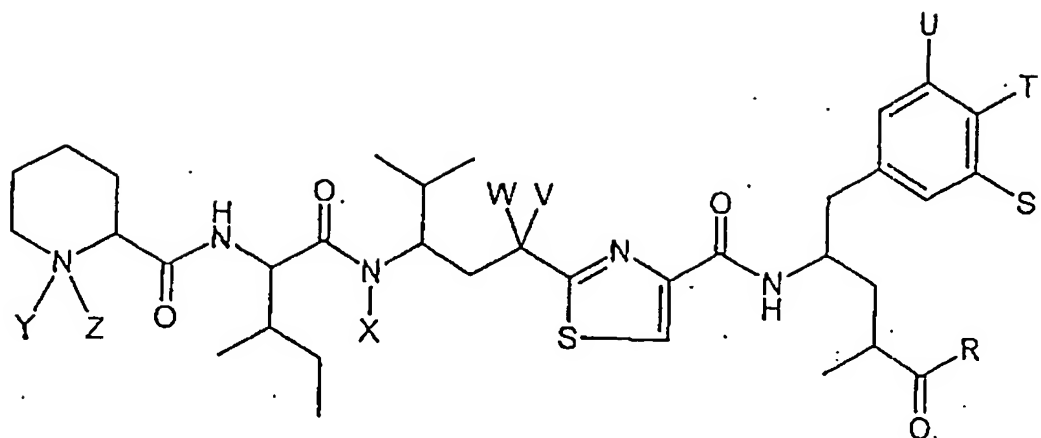


Formula II

or a product of a process according to claim 15 the compound of Formula I (type 13) is subjected to amination using a compound of formula RH , R having the indicated meanings, and a thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

36. (Currently Amended) The process according to claim 35, wherein the reaction is carried out
(i) in the presence of EDC in methylene chloride or
(ii) in the presence of isobutyl chloroformate and triethylamine in THF.

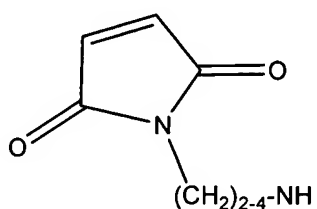
37. (Currently Amended) (Scheme 6) A process for the preparation of a compound of the general formula according to claim 1 (type 22)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

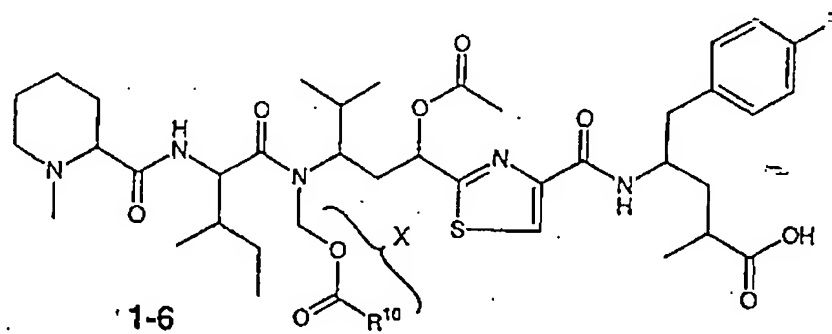
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

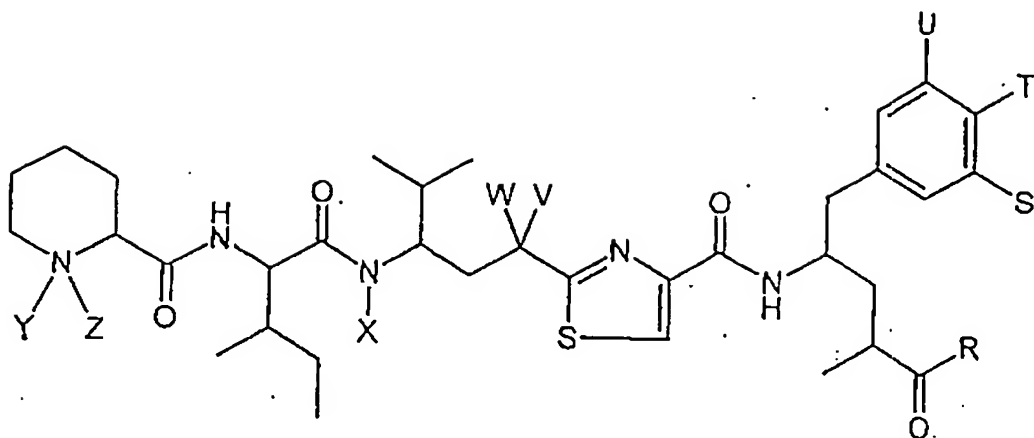
wherein R = alkyl, especially C₁₋₄alkyl, or alkenyl, S = U = H, T = H or OR⁴, R⁴ = H, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH₃, ~~in which process wherein a starting compound of Formula II a process according to claim 3~~ (type 1, 2, 3, 4, 5 or 6)



Formula II

~~or a product of a process according to claim 15 the compound of Formula I (type 13) is reacted with an organolithium compound of formula RLi having the indicated meaning for R, to form thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings.~~

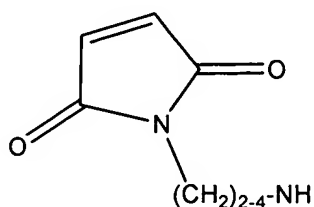
38. (Currently Amended) ~~(Scheme 6) A P~~process for the preparation of a compound of the general formula I ~~according to claim 1~~ (type 23)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

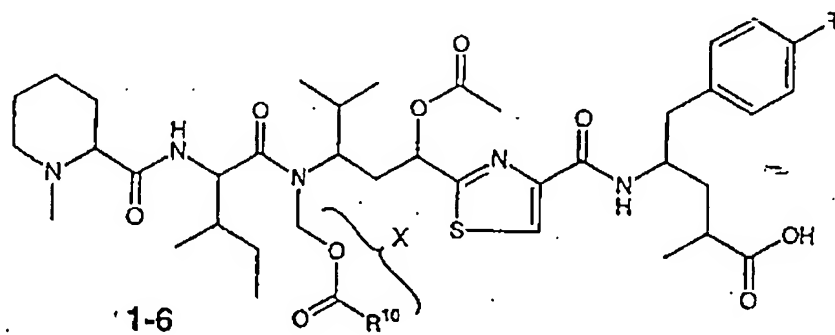
R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = amino radical of 1-(2-amino-C₂₋₄alkyl)-pyrrole-2,5-dione, S = U = H, T = H or OR⁴, R⁴ = H, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH₃, ~~in which process wherein a starting compound of Formula II a process according to claim 3~~ (type 1, 2, 3, 4, 5 or 6)

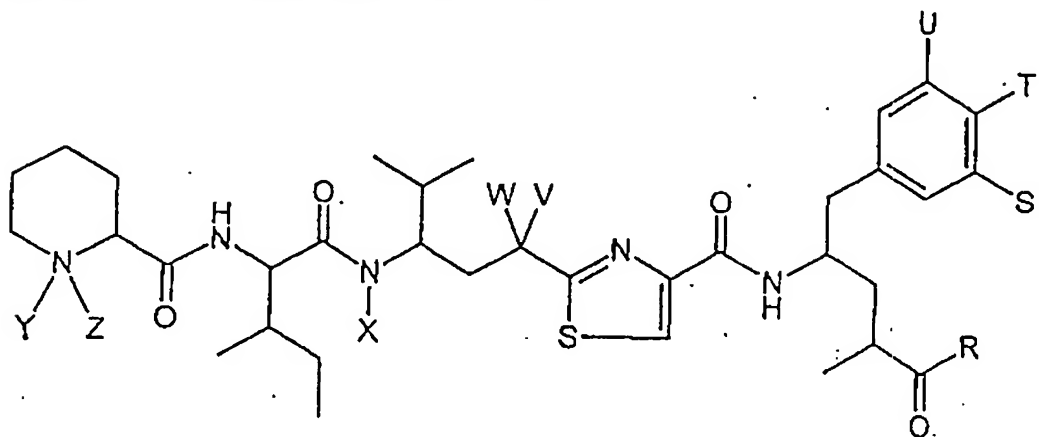


Formula II

or a product of a process according to claim 15 the compound of Formula I (type 13) is subjected to amination using 1-(2-amino-C₂₋₄alkyl)-pyrrole-2,5-dione, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings ~~is obtained~~.

39. (Currently Amended) The Pprocess according to claim 38, wherein the amination is carried out in the presence of EDC in methylene chloride.

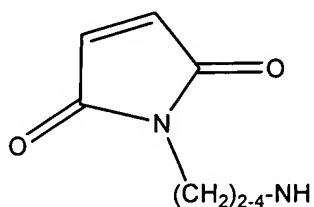
40. (Currently Amended) (~~Scheme 7~~) ~~A Process for the preparation of a compound of the~~
general formula I according to ~~claim 1~~ (type 24)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R^5 = alkyl, alkenyl, aryl or heteroaryl

R^6 = H, alkyl or a metal ion

V = H, OR^7 , Hal or (with $W = O$) O

R^7 = H, alkyl or COR^8

R^8 = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH_2OR^9

R^9 = H, alkyl, alkenyl, aryl or COR^{10}

R^{10} = alkyl, alkenyl, aryl or heteroaryl

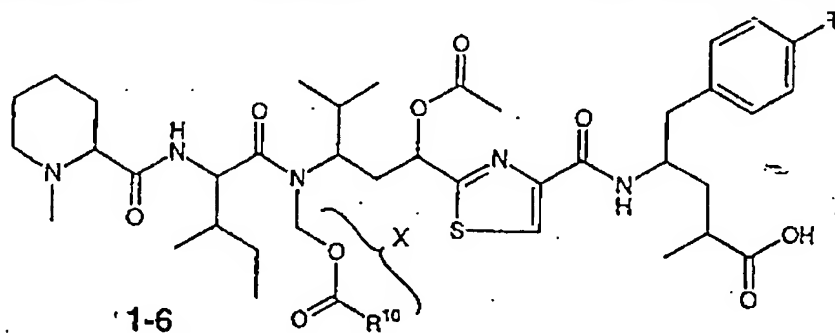
Y = (for $Z = CH_3$ or COR^{11}) free electron pair or (for $Z = CH_3$) O

R^{11} = alkyl, CF_3 or aryl and/or

Z = (for $Y = O$ or free electron pair) CH_3 or (for Y = free electron pair) COR^{11}

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = OR^4$, $R^4 = P(O)(OR^6)_2$ wherein $R^6 = H$ or alkyl, especially C_{1-4} alkyl, or $R^4 = SO_3R^6$ wherein $R^6 = H$. $V = OR^7$, $R^7 = COR^8$, $R^8 =$ alkyl, preferably C_{1-4} alkyl, especially methyl, $W = H$ $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} =$ alkyl, especially C_{1-6} alkyl, alkenyl, especially C_{2-6} alkenyl, aryl or heteroaryl, $Y =$ free electron pair and $Z = CH_3$, in which process wherein

- (i) a starting compound of Formula II (type 1, 2 or 3) according to claim 3



Formula II

or

- (ii) ~~a product of a process according to claim 15~~ the compound of Formula I (type 13)

is reacted with

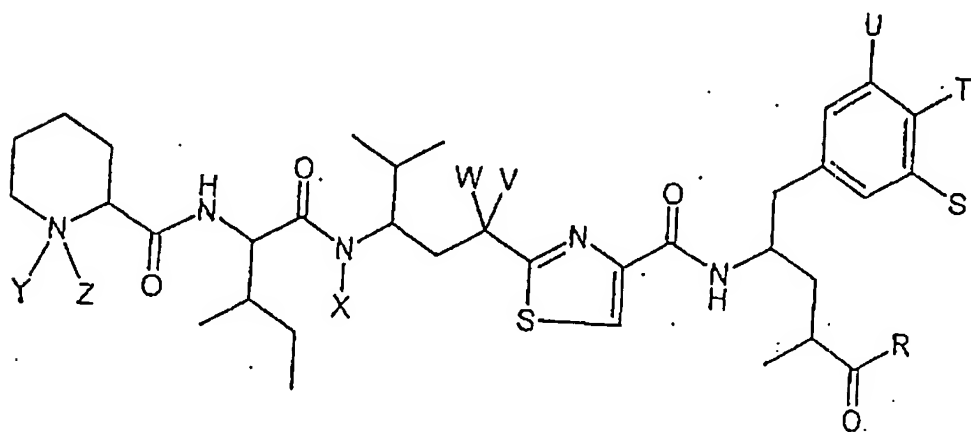
- (a) a compound of formula $P(O)(OR^6)_2OH$ wherein $R^6 = H$ or alkyl, especially C_{1-4} alkyl, or
(b) SO_3

and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

41. (Currently Amended) The Pprocess according to claim 40, wherein the variant (a) is carried out in the presence of I₂ and pyridine in methylene chloride.

42. (Currently Amended) The Pprocess according to claim 40, wherein the variant (b) is carried out using pyridine SO₃.

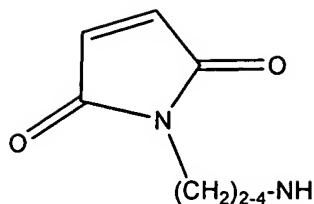
43. (Currently Amended) (Scheme 7) A Pprocess for the preparation of a compound of the general formula I according to claim 1 (type 25)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

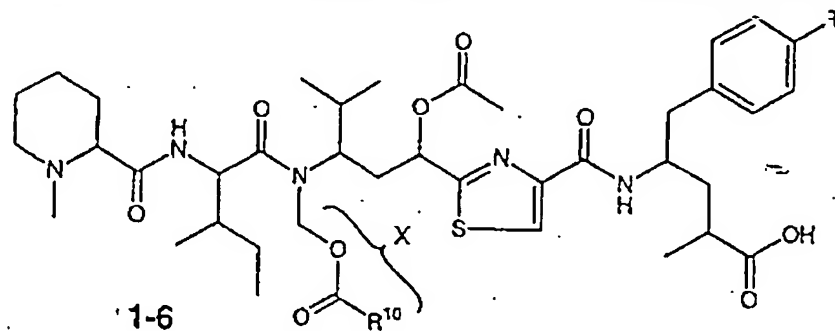
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = OR⁴, R⁴ = COR⁵, R⁵ = alkyl, especially C₁₋₄alkyl, alkenyl or N(R¹²)₂, R¹² = alkyl, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl,

especially methyl, $W = H$, $X = CH_2OR^9$, $R^9 = COR^{10}$ $R^{10} = \text{alkyl}$, especially $C_{1-6}\text{alkyl}$, alkenyl, especially $C_{2-6}\text{alkenyl}$, aryl or heteroaryl, in which process

(i) a ~~starting~~ compound of Formula II (type 1, 2 or 3) ~~according to claim 3~~



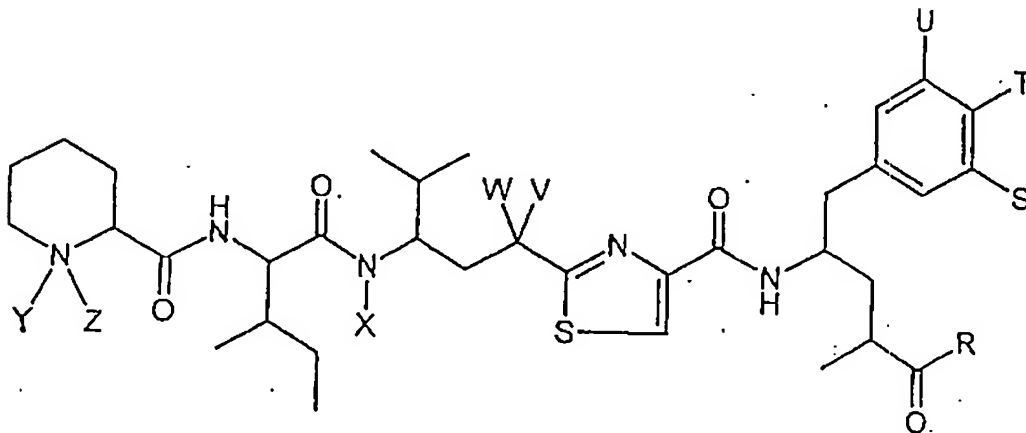
Formula II

or

(ii) a ~~product of a process according to claim 15~~ the compound of Formula II (type 13) is subjected to acylation, and thereby preparing the compound of the general formula I ~~according to claim 1~~ having the indicated meanings ~~is obtained~~.

44. (Currently Amended) The Process according to claim 43, wherein the acylation is carried out using an acyl halide of formula R^5COCl wherein $R^5 = \text{alkyl}$, especially $C_{1-4}\text{alkyl}$, alkenyl or $N(R^{12})_2$ and $R^{12} = \text{alkyl}$, especially using an acyl chloride, in the presence of an organic base, especially a trialkylamine, preferably triethylamine, in an organic solvent, especially THF.

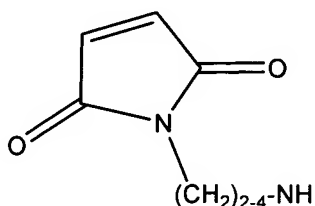
45. (Currently Amended) (~~Scheme 7~~) A Process for the preparation of a compound of the general formula I ~~according to claim 1~~ (type 26)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

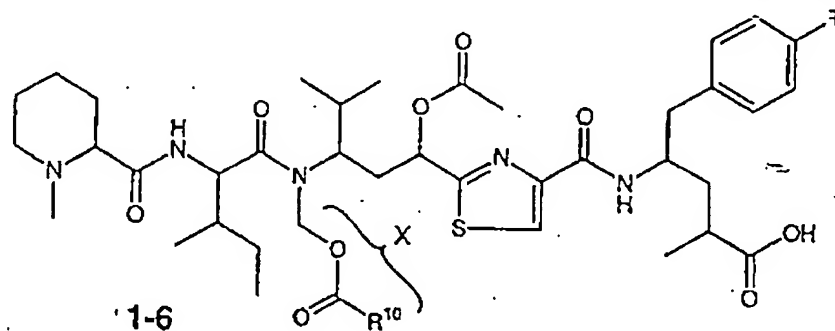
Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = alkyl, especially C₁₋₄alkyl, or alkenyl, S = U = H, T = OR⁴, R⁴ = alkyl, especially C₁₋₄alkyl, or alkenyl, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH₃, ~~in which process wherein~~

(i) ~~a starting compound of Formula II (type 1, 2 or 3) according to claim 3~~



Formula II

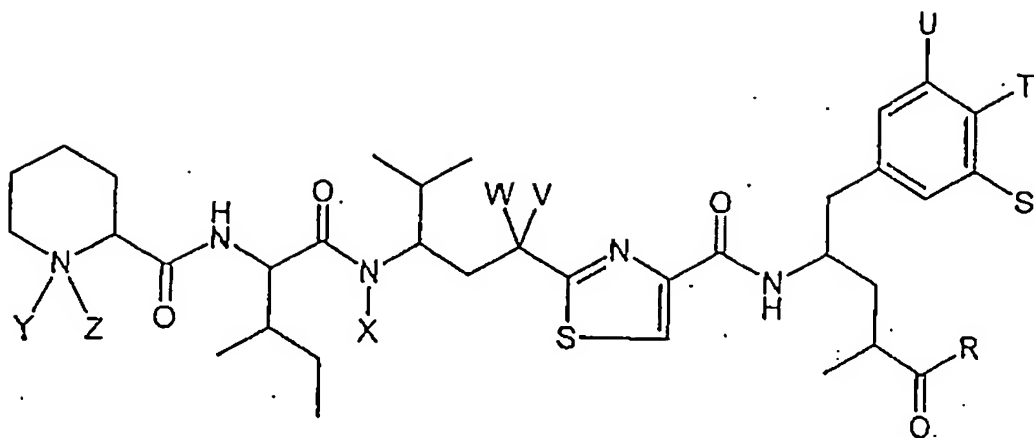
or

(ii) ~~a product of a process according to claim 15~~ the compound of Formula I (type 13) is subjected to alkylation, ~~and thereby preparing the compound of the general formula I according to claim 1~~ having the indicated meanings is obtained.

46. (Currently Amended) The Pprocess according to claim 45, wherein the alkylation is carried out using an alkyl iodide of formula R⁴I wherein R⁴ = alkyl, especially C₁₋₄alkyl, or alkenyl in the presence of a weak base, especially Ag₂O, in an organic solvent, especially methylene chloride.

47. (Currently Amended) The Pprocess according to claim 45, wherein methylation is carried out using diazomethane in an organic solvent, especially methanol.

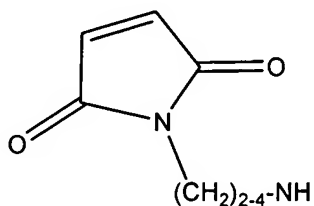
48. (Currently Amended) (~~Scheme 7~~) A Pprocess for the preparation of a compound of ~~the~~ general formula I according to claim 1 (type 27)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

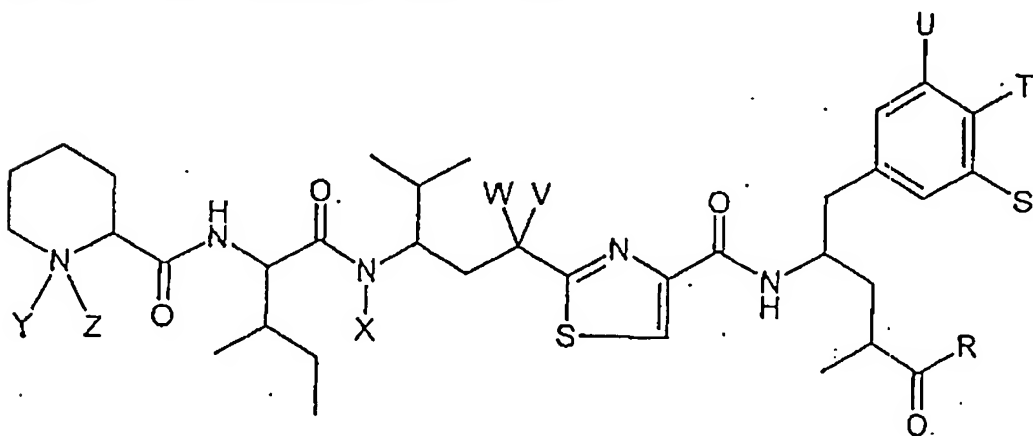
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = OR⁴, R⁴ = alkyl, especially C₁₋₄alkyl, or alkenyl, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH₃, ~~in which process wherein the compound of Formula I a product of the process according to claim 45, 46 or 47 (type 26) is subjected to partial dealkylation or dealkenylation enzymatically, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.~~

49. (Currently Amended) The Pprocess according to claim 48, wherein an esterase, especially pig liver esterase, is used as the enzyme.

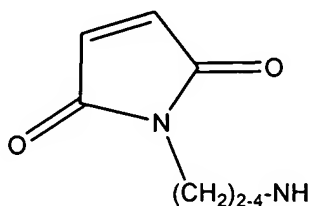
50. (Currently Amended) (~~Scheme 7~~) A Pprocess for the preparation of a compound of the general formula I ~~according to claim 1~~ (type 27)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

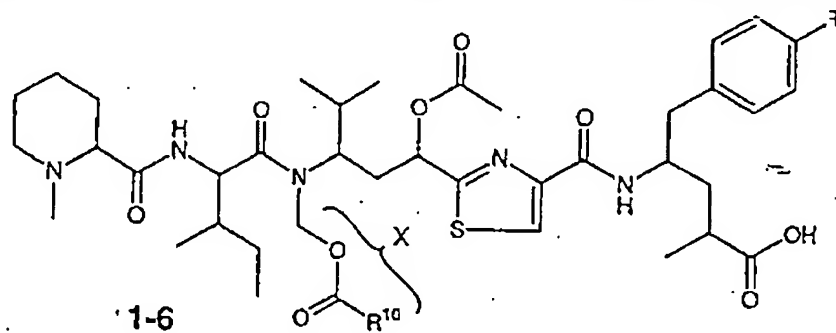
R^{11} = alkyl, CF_3 or aryl and/or

Z = (for $Y = O$ or free electron pair) CH_3 or (for Y = free electron pair) COR^{11}

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = OR^4$, $R^4 =$ alkyl, especially C_{1-4} alkyl, or alkenyl, $V = OR^7$, $R^7 = COR^8$, $R^8 =$ alkyl, preferably C_{1-4} alkyl, especially methyl. $W = H$, $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} =$ alkyl, especially C_{1-6} alkyl, alkenyl, especially C_{2-6} alkenyl, aryl or heteroaryl, in which process wherein

(a) in a first step

(i) a starting compound of Formula II (type 1, 2 or 3) according to claim 3



Formula II

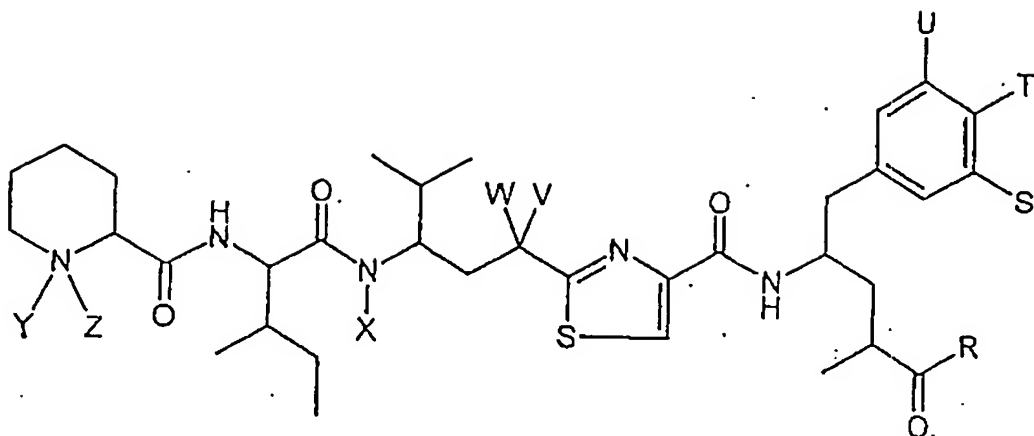
or

(ii) a product of a process according to claim 15 the compound of Formula I (type 13)

is subjected to a process according to claim 45, 46 or 47 and

(b) in a second step a process according to claim 48 or 49 is carried out, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

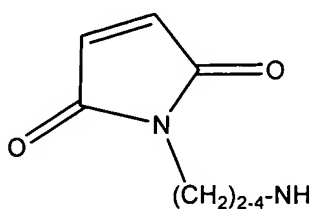
51. (Currently Amended) (Scheme 8) A Process for the preparation of a compound of the general formula I according to claim 1 (type 28 and, as the case may be, 29)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

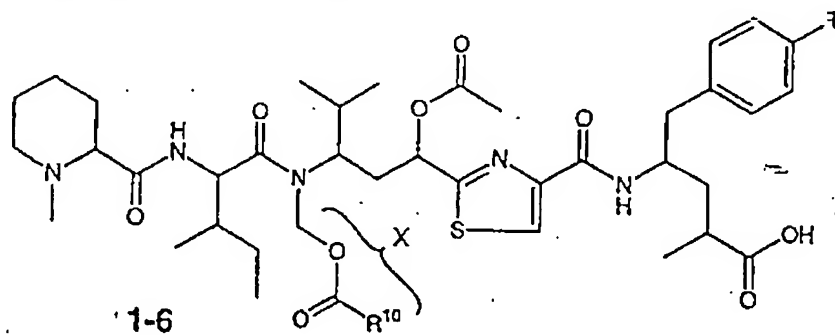
Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = H or Hal, T = OR⁴, R⁴ = H, U = Hal, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, ~~in which process wherein~~

(i) ~~a starting compound of Formula II (type 1, 2, 3, 4, 5 or 6) according to claim 3~~



Formula II

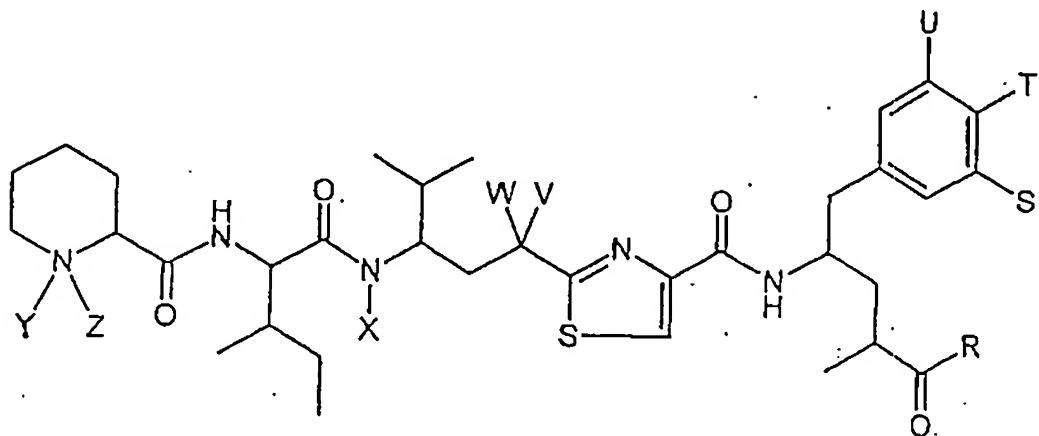
or

(ii) ~~a product of a process according to claim 15~~ the compound of Formula I (type 13)

is subjected to halogenation or dihalogenation in the position ortho to the T substituent, ~~and thereby preparing the compound of the general formula I according to claim 1~~ having the indicated meanings ~~is obtained~~.

52. (Currently Amended) ~~The P~~process according to claim 51, wherein the halogenation is carried out in the presence of C₅Cl₅NF-triflate, SO₂, Cl₂, NBS and ICI

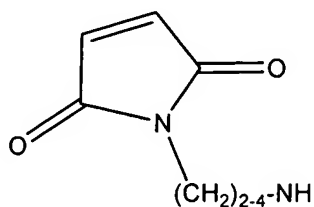
53. (Currently Amended) (~~Scheme 8~~) A Process for the preparation of a compound of the general formula I according to claim 1 (type 30)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R^5 = alkyl, alkenyl, aryl or heteroaryl

R^6 = H, alkyl or a metal ion

V = H, OR^7 , Hal or (with $W = O$) O

R^7 = H, alkyl or COR^8

R^8 = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH_2OR^9

R^9 = H, alkyl, alkenyl, aryl or COR^{10}

R^{10} = alkyl, alkenyl, aryl or heteroaryl

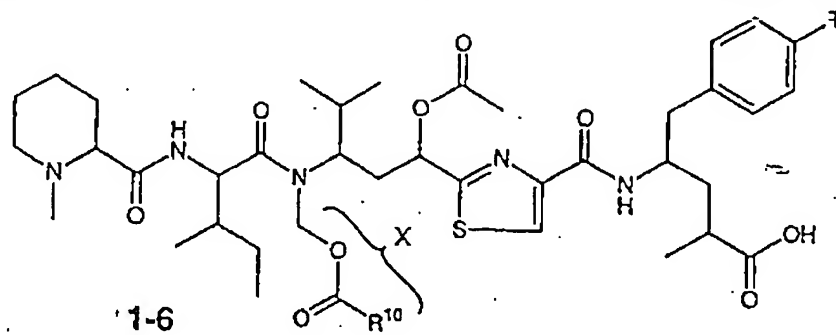
Y = (for $Z = CH_3$ or COR^{11}) free electron pair or (for $Z = CH_3$) O

R^{11} = alkyl, CF_3 or aryl and/or

Z = (for $Y = O$ or free electron pair) CH_3 or (for Y = free electron pair) COR^{11}

wherein $R = OR^1$, $R^1 = H$, $S = H$, $T = OR^4$, $R^4 = H$, $U = NO_2$, $V = OR^7$, $R^7 = COR^8$, R^8 = alkyl, preferably C_{1-4} alkyl, especially methyl. $W = H$, $X = CH_2OR^9$, $R^9 = COR^{10}$, R^{10} = alkyl, especially C_{1-6} alkyl, alkenyl, especially C_{2-6} alkenyl, aryl or heteroaryl, Y = free electron pair and $Z = CH_3$, in which process wherein

- (i) a starting compound of Formula II (type 1, 2, 3, 4, 5 or 6) according to claim 3



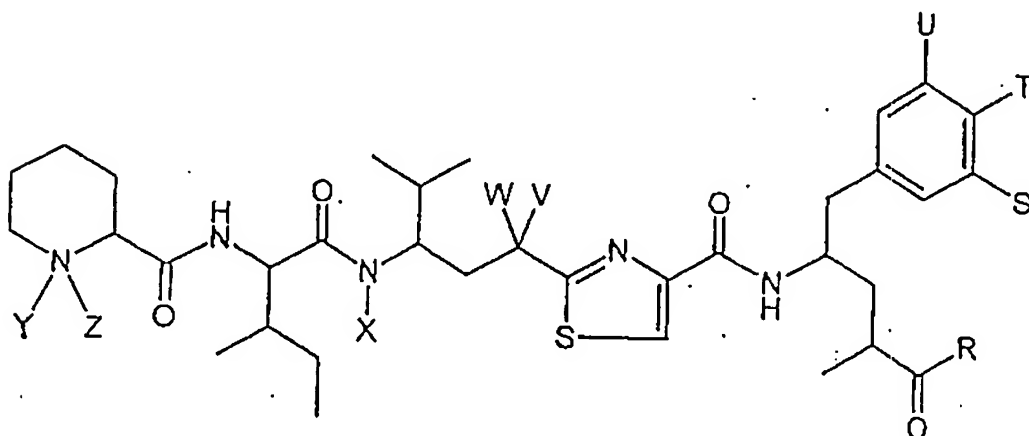
Formula II

or

- (ii) ~~a product of a process according to claim 15~~ the compound of Formula I (type 13) is subjected to nitration in the position ortho to the T substituent, ~~and thereby preparing the compound of the general formula I according to claim 1~~ having the indicated meanings is obtained.

54. (Currently Amended) The ~~P~~process according to claim 53, wherein the nitration is carried out using an alkali metal nitrite, especially sodium nitrite, and acetic acid in the presence of an organic solvent, especially ethanol.

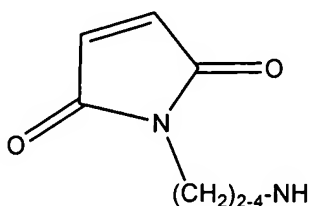
55. (Currently Amended) (~~Scheme 8~~) A ~~P~~process for the preparation of a compound of the general formula I according to ~~claim 1~~ (type 31)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = H, T = OR⁴, R⁴ = H, U = NH₂, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl,

preferably C₁₋₄alkyl, especially methyl, W =: H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl,

especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and

Z = CH₃, ~~in which process wherein a product of a process according to claim 53 or 54 the~~

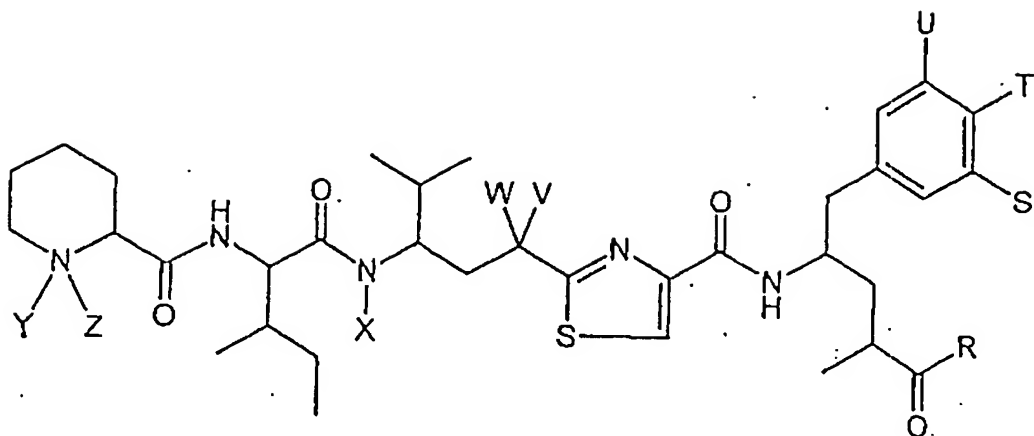
compound of Formula I (type 30) is subjected to catalytic reduction, and thereby preparing the

compound of the general formula I according to claim 1 having the indicated meanings is

obtained.

56. (Currently Amended) ~~The~~ Process according to claim 55, wherein the reduction is carried out using elemental hydrogen in the presence of palladium on activated carbon, especially in an organic solvent, preferably ethanol.

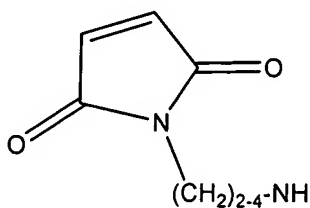
57. (Currently Amended) (~~Scheme 8~~) A ~~P~~rocess for the preparation of a compound of the general formula I ~~according to claim 1~~ (type 31)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

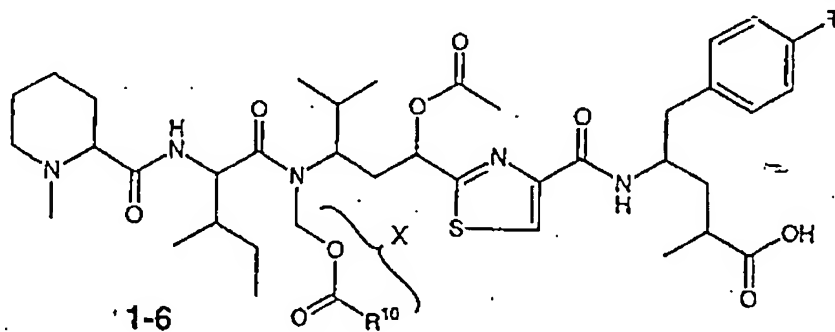
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = H, T = OR⁴, R⁴ = H, U = NH₂, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, preferably C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH₃, ~~in which process wherein~~

(a) in a first step

(i) a ~~starting compound of the Formula II (type 1, 2, 3, 4, 5 or 6) according to claim 3~~



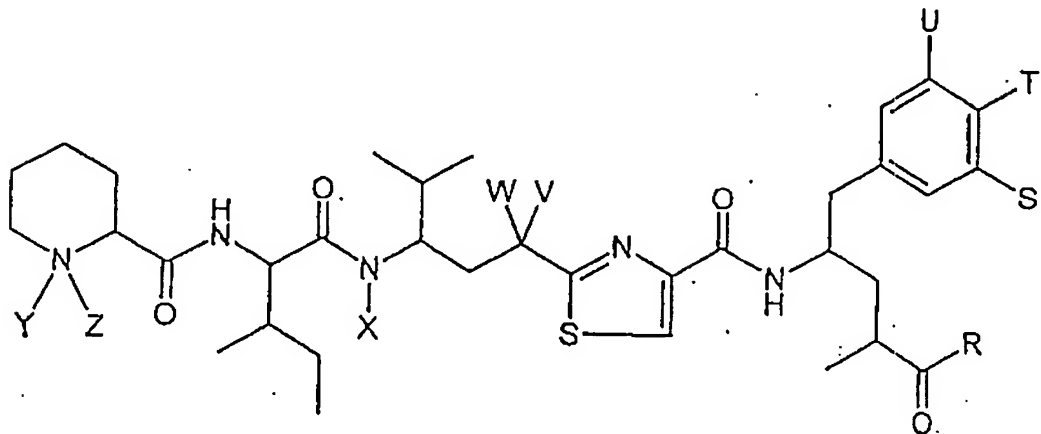
Formula II

or

(ii) ~~a product of a process according to claim 15~~ the compound of Formula I (type 13) is subjected to a process according to claim 53 ~~or 54~~ and

(b) in a second step the resulting product (type 30) is subjected to a process according to claim 55 ~~or 56, and thereby preparing the compound of the general formula I according to claim 1~~ having the indicated meanings ~~is obtained~~.

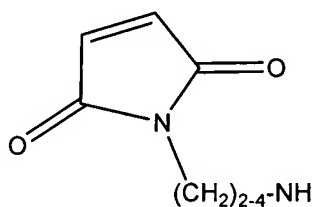
58. (Currently Amended) (Scheme 8) A process for the preparation of a compound of the general formula I according to claim 1 (type 32)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

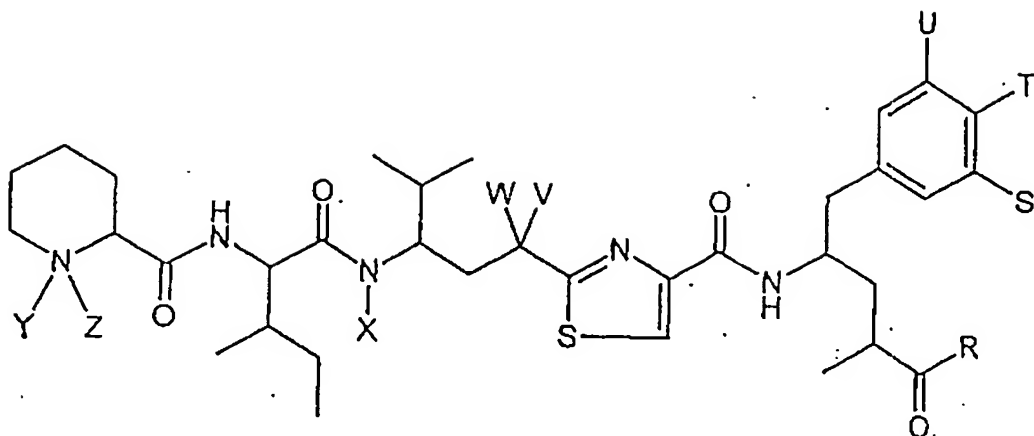
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = H, T = OR⁴, R⁴ = H, U = NHR³, R³ = alkyl-CO, especially C₁₋₄alkyl-CO, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair and Z = CH₃, in which process wherein the compound of Formula I a product of a process according to claim 55, 56 or 57 (type 31) is subjected to alkylation, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

59. (Currently Amended) The Pprocess according to claim 58, wherein the alkylation is carried out using an acid anhydride of formula (R³)₂O wherein R³ =alkyl-CO, especially C₁₋₄alkyl-CO.

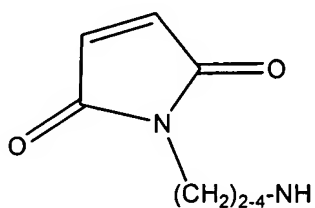
60. (Currently Amended) (~~Scheme 8~~) A Pprocess for the preparation of a compound of the ~~general formula I according to claim 1~~ (type 32)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

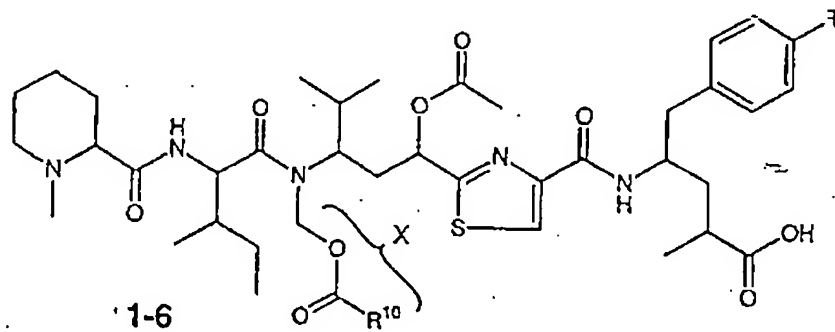
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = H, T = OR⁴, R⁴ = H, U = NHR³, R³ = alkyl-CO, especially C₁₋₄alkyl-CO, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH₂OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, ~~in which process~~ wherein

(a) in an optional first step

(i) ~~a starting compound of Formula II (type 1, 2, 3, 4, 5 or 6) according to claim 3~~



or

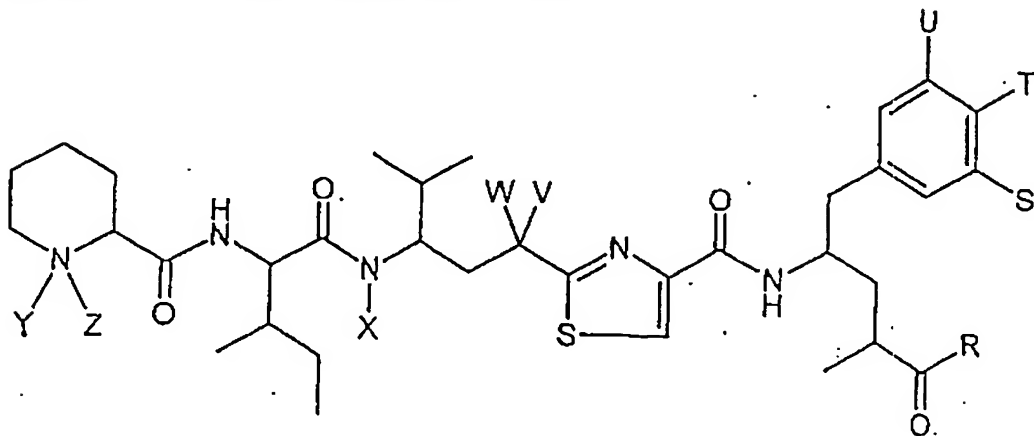
(ii) ~~a product of a process according to claim 15~~ the compound of Formula I (type 13)

is subjected to a process according to claim 53 ~~or 54~~,

(b) in a second step the resulting product (type 30) is subjected to a process according to claim 55 ~~or 56~~ and

(c) in a third step a process according to claim 58 ~~or 59~~ is carried out, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

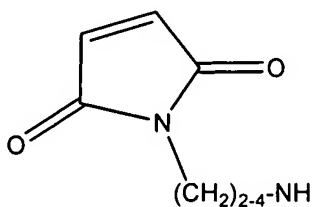
61. (Currently Amended) (Scheme-9) A Process for the preparation of a compound of the general formula I according to claim 1 (type 33)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

$R^4 = \text{H, alkyl, aryl, COR}^5, \text{P(O)(OR}^6)_2 \text{ or SO}_3\text{R}^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = \text{H, alkyl or a metal ion}$

$V = \text{H, OR}^7, \text{Hal or (with W = O) O}$

$R^7 = \text{H, alkyl or COR}^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = \text{H or alkyl or (with V) O}$

$X = \text{H, alkyl, alkenyl or CH}_2\text{OR}^9$

$R^9 = \text{H, alkyl, alkenyl, aryl or COR}^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

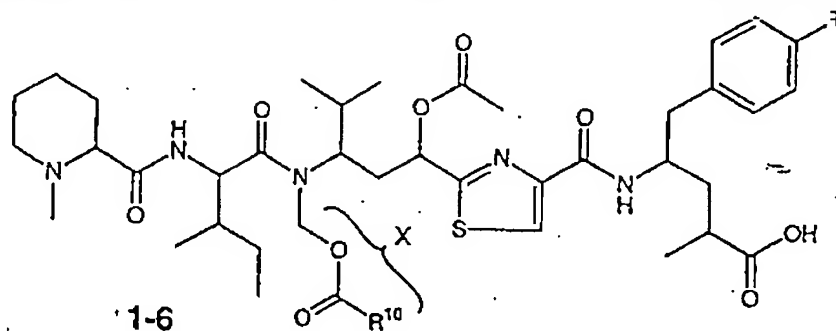
$Y = (\text{for Z = CH}_3 \text{ or COR}^{11}) \text{ free electron pair or (for Z = CH}_3) \text{ O}$

$R^{11} = \text{alkyl, CF}_3 \text{ or aryl and/or}$

$Z = (\text{for Y = O or free electron pair}) \text{ CH}_3 \text{ or (for Y = free electron pair) COR}^{11}$

wherein $R = \text{OR}^1$, $R^1 = \text{H}$, $S = U = \text{H}$, $T = \text{OR}^4$, $R^4 = \text{H}$, $V = \text{OR}^7$, $R^7 = \text{COR}^8$, $R^8 = \text{alkyl}$, preferably C_{1-4} alkyl, especially methyl, $W = \text{H}$, $X = \text{CH}_2\text{OR}^9$, $R^9 = \text{COR}^{10}$, $R^{10} = \text{alkyl}$, especially C_{1-6} alkyl, alkenyl, especially C_{2-6} alkenyl, aryl or heteroaryl, $Y = \text{O}$ and $Z = \text{CH}_3$, in which process wherein

- (i) a starting compound of Formula II (type 1, 2, 3, 4, 5 or 6) according to claim 3



Formula II

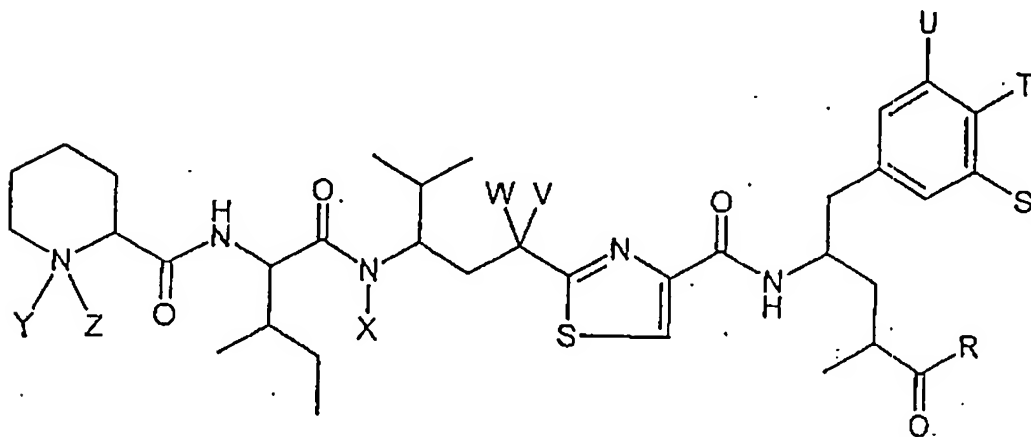
or

- (ii) a product of a process according to claim 15 the compound of Formula I (type 13)

is subjected to a reaction for formation of an N-oxide, and thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings is obtained.

62. (Currently Amended) The Process according to claim 61, wherein the N-oxide formation is carried out using mCPBA in an organic solvent, especially methylene chloride.

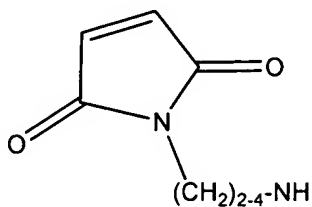
63. (Currently Amended) (~~Scheme 9~~) A Process for the preparation of a compound of the general formula according to ~~claim 1~~ (type 34)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

$R^3 = H, HCO \text{ or alkyl-CO}$

$T = H \text{ or } OR^4$

$R^4 = H, \text{ alkyl, aryl, } COR^5, P(O)(OR^6)_2 \text{ or } SO_3R^6$

$R^5 = \text{alkyl, alkenyl, aryl or heteroaryl}$

$R^6 = H, \text{ alkyl or a metal ion}$

$V = H, OR^7, \text{ Hal or (with } W = O) O$

$R^7 = H, \text{ alkyl or } COR^8$

$R^8 = \text{alkyl, alkenyl or aryl}$

$W = H \text{ or alkyl or (with } V) O$

$X = H, \text{ alkyl, alkenyl or } CH_2OR^9$

$R^9 = H, \text{ alkyl, alkenyl, aryl or } COR^{10}$

$R^{10} = \text{alkyl, alkenyl, aryl or heteroaryl}$

$Y = (\text{for } Z = CH_3 \text{ or } COR^{11}) \text{ free electron pair or (for } Z = CH_3) O$

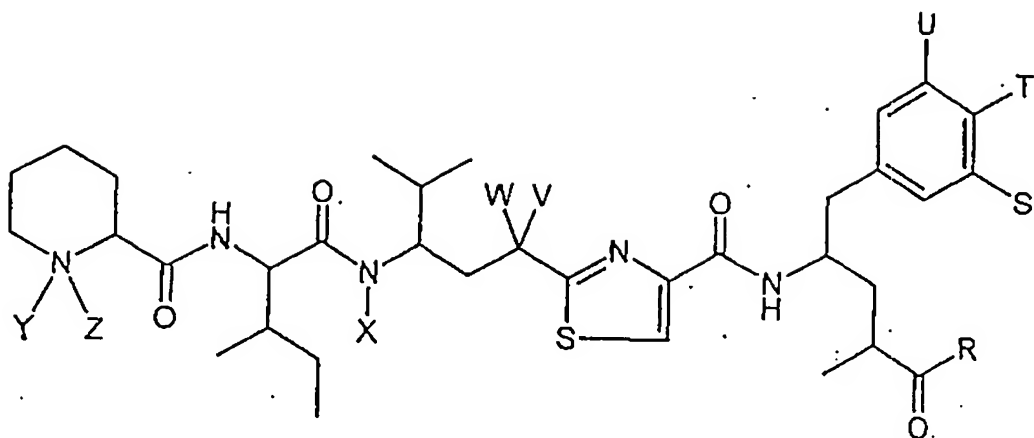
$R^{11} = \text{alkyl, } CF_3 \text{ or aryl and/or}$

$Z = (\text{for } Y = O \text{ or free electron pair}) CH_3 \text{ or (for } Y = \text{free electron pair}) COR^{11}$

wherein $R = OR^1$, $R^1 = H$, $S = U = H$, $T = OR^4$, $R^4 = H$, $V = OR^7$, $R^7 = COR^8$, $R^8 = \text{alkyl}$, preferably $C_{1-4}\text{alkyl}$, especially methyl, $W = H$, $X = CH_2OR^9$, $R^9 = COR^{10}$, $R^{10} = \text{alkyl}$, especially $C_{1-6}\text{alkyl}$, alkenyl, especially $C_{2-6}\text{alkenyl}$, aryl or heteroaryl, $Y = \text{free electron pair}$, $Z = COR^{11}$ and $R^{11} = \text{alkyl}$, preferably $C_{1-4}\text{alkyl}$, especially methyl, ~~in which process the compound of Formula I product of a process according to claim 61 or 62 (type 33) is reacted with an acylating agent, and thereby preparing the compound of the general formula I according to claim 1~~ having the indicated meanings is obtained.

64. (Currently Amended) ~~The~~ Process according to claim 63, wherein the acylation is carried out using an acid anhydride, especially acetic anhydride, preferably at elevated temperature.

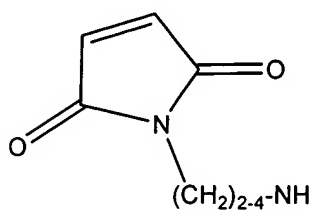
65. (Currently Amended) ~~(Scheme 9) A~~ Process for the preparation of a compound of the ~~general formula I according to claim 1~~ (type 34)



Formula I

wherein R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z have the following meanings:

R = H, alkyl, aryl, OR¹, NR¹R² or



R¹ = H, alkyl or aryl

R² = H, alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or alkyl-CO

T = H or OR⁴

R⁴ = H, alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (with W = O) O

R⁷ = H, alkyl or COR⁸

R⁸ = alkyl, alkenyl or aryl

W = H or alkyl or (with V) O

X = H, alkyl, alkenyl or CH₂OR⁹

R⁹ = H, alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

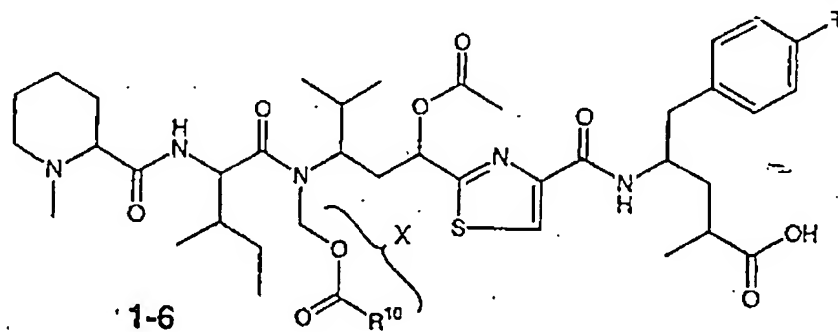
R¹¹ = alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹

wherein R = OR¹, R¹ = H, S = U = H, T = OR⁴, R⁴ = H, V = OR⁷, R⁷ = COR⁸, R⁸ = alkyl, preferably C₁₋₄alkyl, especially methyl, W = H, X = CH, OR⁹, R⁹ = COR¹⁰, R¹⁰ = alkyl, especially C₁₋₆alkyl, alkenyl, especially C₂₋₆alkenyl, aryl or heteroaryl, Y = free electron pair, Z = COR¹¹ and R¹¹ = alkyl, preferably C₁₋₄alkyl, especially methyl, ~~in which process wherein~~

(a) in a first step

(i) a ~~starting~~ compound of Formula II (type 1, 2, 3, 4, 5, or 6) ~~according to claim 3~~



Formula II

or

(ii) ~~a product of a process according to claim 15~~ the compound of Formula I (type 13) is

subjected to a process according to claim 61 ~~or 62~~ and

(b) in a second step the resulting product (type 33) is subjected to a process according to claim

63 ~~or 64~~, and

thereby preparing the compound of the general formula I according to claim 1 having the indicated meanings ~~is obtained~~.

66. (Currently Amended) A ~~T~~therapeutic preparation, especially a cytostatic agent, comprising one or more compounds according to claim 1 ~~or 2~~ as active ingredient in addition to one or more optional customary carriers and/or one or more optional customary diluents.

67. (Currently Amended) A ~~T~~therapeutic preparation, especially a cytostatic agent, comprising one or more products of a process according to ~~one of~~ claims 3 ~~to 65~~ as active ingredient in addition to one or more optional customary carriers and/or one or more optional customary diluents.

68. (Currently Amended) The ~~E~~compound according to claim 1 ~~or 2~~, wherein alkyl is branched, unbranched or cyclic C₁₋₂₀alkyl, especially C₁₋₇alkyl, preferably C₁₋₈alkyl and more preferably C₁₋₄alkyl, especially methyl, ethyl, propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, and cycloalkyl having preferably from 3 to 8 carbon atoms in the ring.

69. (Currently Amended) The ~~E~~compound according to claim 1, ~~2 or 68~~, wherein alkenyl is branched, unbranched or cyclic C₂₋₂₀alkenyl, especially C₂₋₇alkenyl, preferably C₂₋₆alkenyl and more preferably C₂₋₄alkenyl, especially vinyl, allyl propen-1-yl, propen-2-yl, but-1-en-1-yl, but-1-en-2-yl, but-1-en-3-yl, but-1-en-4-yl, but-2-en-1-yl, but-2-en-2-yl, 2methyl-propen-1-yl, 2-methyl-propen-3-yl, and cycloalkenyl having preferably from 3 to 8 carbon atoms in the ring and the number of double bonds in the alkenyl groups being from 1 to 3.

70. (Currently Amended) The ~~E~~compound according to claim 1, ~~2, 68 or 69~~, wherein aryl is phenyl, naphthyl and biphenyl.

71. (Currently Amended) The ~~E~~compound according to claim 1, ~~2, 68, 69 or 70~~, wherein heteroaryl is furyl, thienyl, imidazolyl, indolyl, pyridyl, pyridinyl, pyrrolyl, thiazolyl, oxazolyl or pyrimidinyl.

72. (Currently Amended) The ~~E~~compound according to claim 1, ~~2, 68, 69, 70 or 71~~, wherein alkyl, alkenyl, aryl and heteroaryl are unsubstituted or substituted and, especially, carry, in any position, from 1 to 3 substituents from the group formed by C₁₋₃alkyl, C₁₋₃alkoxy, hydroxy,

amino (NH_2) and nitro (NO_2).